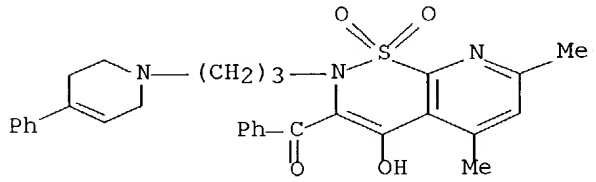
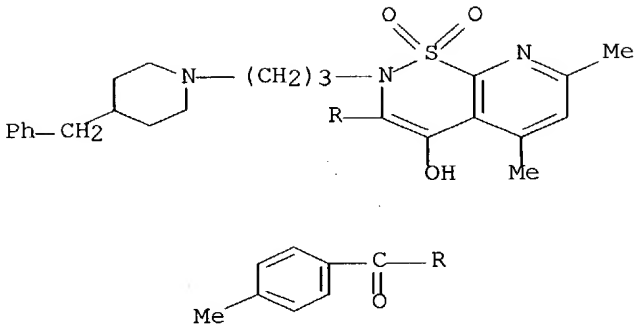
Claim nos 1, 5, 9, 13, 39, 43, 47

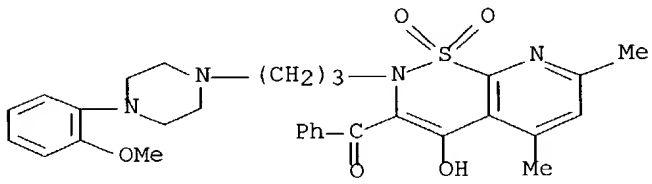
L4 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:308739 CAPLUS Full-text
DN 139:377744
TI Antimycobacterial activity of some pyrido-1,2-thiazine derivatives
AU Malinka, Wieslaw; Redzicka, Aleksandra; Swiatek, Piotr
CS Department of Chemistry of Drugs, Wroclaw Medical University, Wroclaw, 50-137, Pol.
SO Acta Poloniae Pharmaceutica (2002), 59(6), 439-442
CODEN: APPHAX; ISSN: 0001-6837
PB Polish Pharmaceutical Society
DT Journal
LA English
AB The 3-benzoylpyrido-1,2-thiazine-1,1-dioxides 1 and the related pyrazolopyrido-1,2-thiazine-5,5-dioxides 2 with a 4-arylpiperazin-1-ylpropyl side chained by the N atom of the thiazine ring were evaluated in vitro against Mycobacterium tuberculosis H37Rv. Some of the tested compds. proved to be potent antimycobacterial agents and for the most active of them (1a,b) min. inhibitory concns. (MIC=3.13 and 6.25 μg/mL, resp.) were determined The correlation between Mycobacterium growth inhibition and the lipophilicity (logPcalc.) within the series of derivs. 1 and 2 was studied.
IT **508183-71-9 508183-72-0 508183-73-1**
508183-74-2 508183-75-3 508183-76-4
508183-77-5 508183-78-6 508183-79-7
508183-80-0 508183-82-2 508183-83-3
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimycobacterial activity of pyrido-1,2-thiazine derivs.)
RN 508183-71-9 CAPLUS
CN Methanone, [2-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propyl]-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI)(CA INDEX NAME)



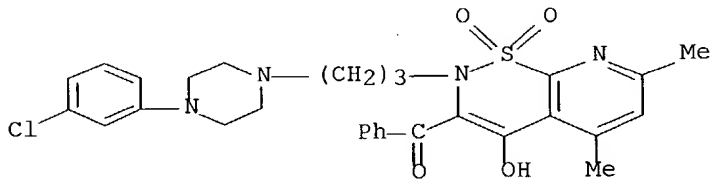
RN 508183-72-0 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methylphenyl)-(9CI) (CA INDEX NAME)



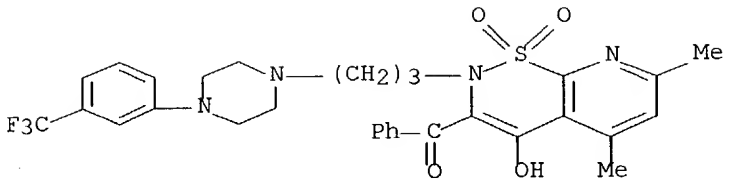
RN 508183-73-1 CAPLUS
CN Methanone, [4-hydroxy-2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5,7-
dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA
INDEX NAME)



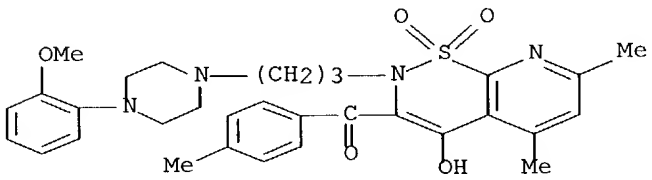
RN 508183-74-2 CAPLUS
CN Methanone, [2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4-hydroxy-5,7-
dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA
INDEX NAME)



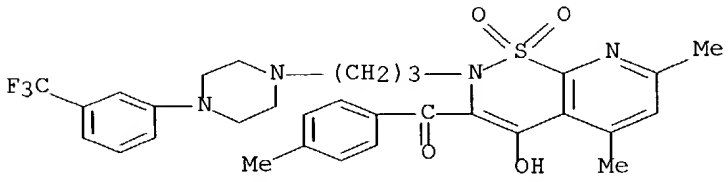
RN 508183-75-3 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-
(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-
thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



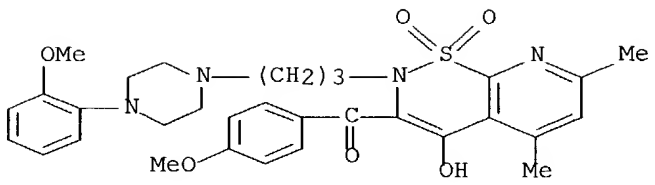
RN 508183-76-4 CAPLUS
CN Methanone, [4-hydroxy-2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5,7-
dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methylphenyl)-
(9CI) (CA INDEX NAME)



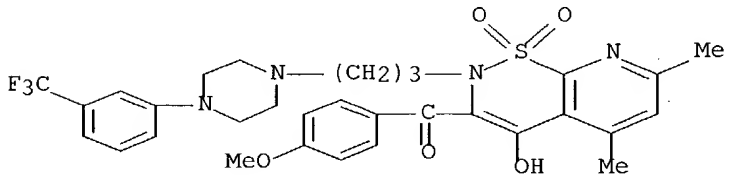
RN 508183-77-5 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-
(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-
thiazin-3-yl](4-methylphenyl)- (9CI) (CA INDEX NAME)



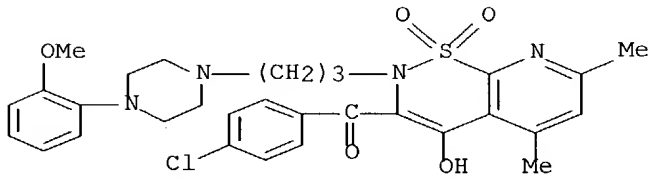
RN 508183-78-6 CAPLUS
CN Methanone, [4-hydroxy-2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5,7-
dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methoxyphenyl)-
(9CI) (CA INDEX NAME)



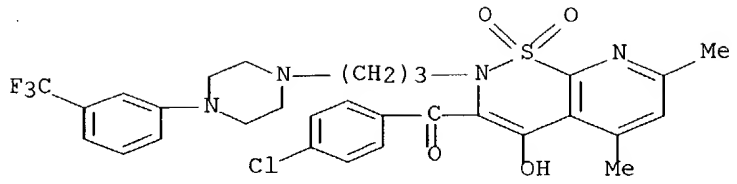
RN 508183-79-7 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methoxyphenyl)- (9CI) (CA INDEX NAME)



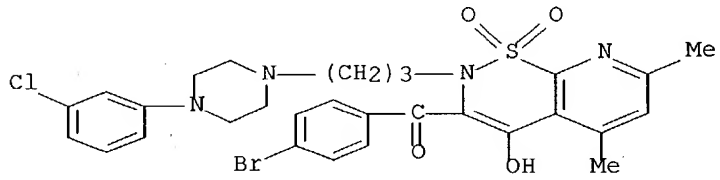
RN 508183-80-0 CAPLUS
CN Methanone, (4-chlorophenyl)[4-hydroxy-2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



RN 508183-82-2 CAPLUS
CN Methanone, (4-chlorophenyl)[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)

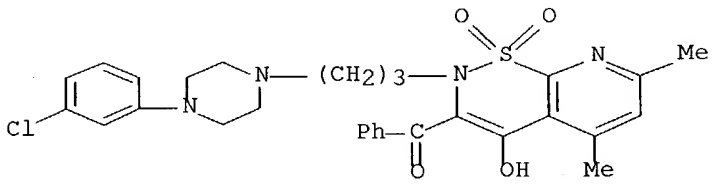


RN 508183-83-3 CAPLUS
CN Methanone, (4-bromophenyl)[2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-
4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]-
(9CI) (CA INDEX NAME)

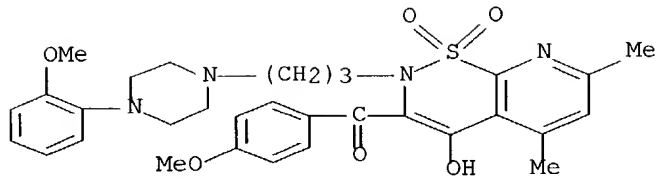


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

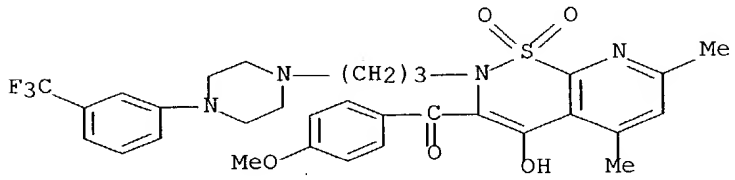
L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:725355 CAPLUS Full-text
DN 138:297084
TI Preparation of novel derivatives of pyridothiazine-1,1-dioxide and their CNS and antioxidant properties
AU Malinka, W.; Kaczmarz, M.; Filipek, B.; Sapa, J.; Glod, B.
CS Department of Chemistry of Drugs, Wroclaw Medical University, Wroclaw, 50-137, Pol.
SO Farmaco (2002), 57(9), 737-746
CODEN: FRMCE8; ISSN: 0014-827X
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
OS CASREACT 138:297084
AB Starting from isothiazolopyridine-1,1-dioxide, corresponding derivs. of 3-aryl-4-hydroxypyrido[3,2-e]-1,2-thiazine-1,1-dioxide possessing the 3-[4-(substituted-phenyl)piperazinyl]propyl or 3-(4-substituted-piperidinyl)propyl side chain by the nitrogen atom of the thiazine ring were prepared Under pharmacol. central nervous system (CNS) screening in animal models (mice), all of the six pyridothiazines tested exhibited analgesic action as the predominant profile of their activity (writhing' test 12.5-50 mg/kg). Moreover, the radical scavenging activity against peroxyl radicals of the pyridothiazines was evaluated in vitro in water environment and some of them proved to be moderate antioxidants.
IT **508183-74-2P 508183-78-6P 508183-79-7P**
508183-80-0P 508183-82-2P 508183-83-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridothiazine dioxides and their CNS and antioxidant properties)
RN 508183-74-2 CAPLUS
CN Methanone, [2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



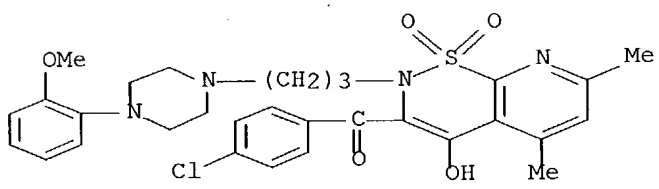
RN 508183-78-6 CAPLUS
CN Methanone, [4-hydroxy-2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methoxyphenyl)- (9CI) (CA INDEX NAME)



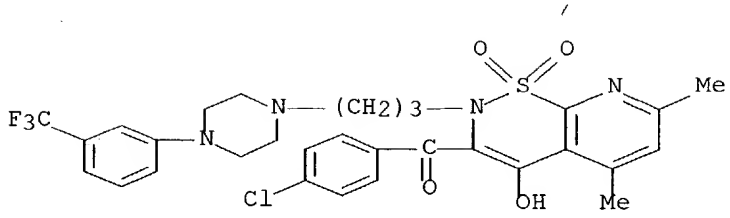
RN 508183-79-7 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methoxyphenyl)- (9CI) (CA INDEX NAME)



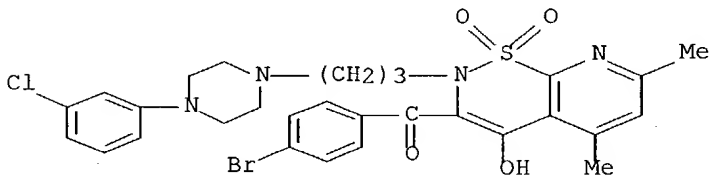
RN 508183-80-0 CAPLUS
CN Methanone, (4-chlorophenyl)[4-hydroxy-2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



RN 508183-82-2 CAPLUS
CN Methanone, (4-chlorophenyl)[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)

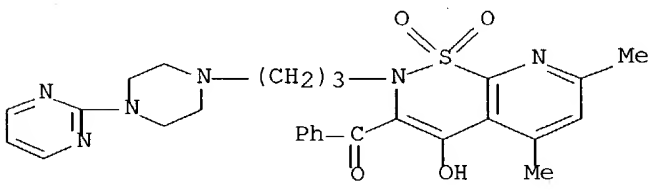


RN 508183-83-3 CAPLUS
CN Methanone, (4-bromophenyl)[2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)

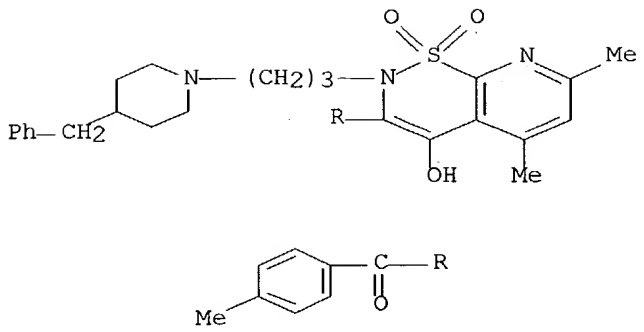


IT **164357-40-8P 508183-72-0P 508183-84-4P 508183-85-5P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyridothiazine dioxides and their CNS and antioxidant properties)

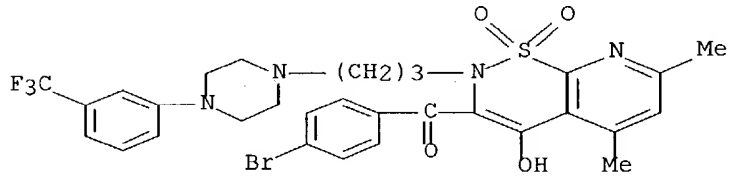
RN 164357-40-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



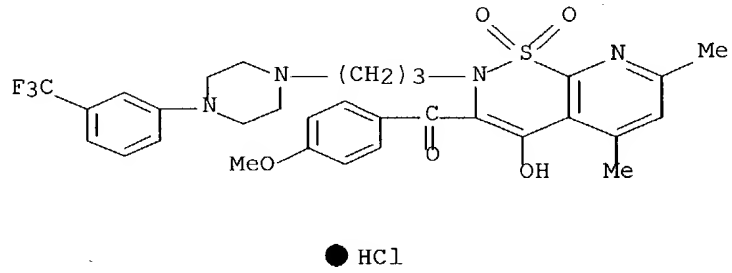
RN 508183-72-0 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 508183-84-4 CAPLUS
CN Methanone, (4-bromophenyl)[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



RN 508183-85-5 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

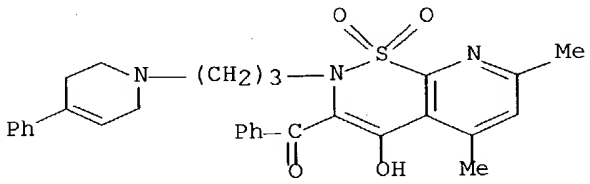


IT **508183-71-9P 508183-73-1P 508183-75-3P**
508183-76-4P 508183-77-5P 508183-81-1P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of pyridothiazine dioxides and their CNS and antioxidant properties)

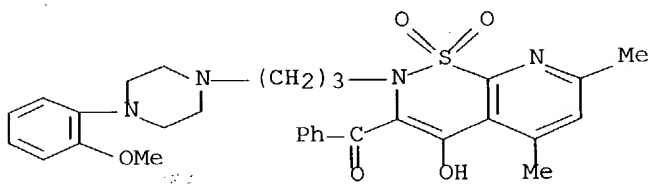
RN 508183-71-9 CAPLUS

CN Methanone, [2-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propyl]-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



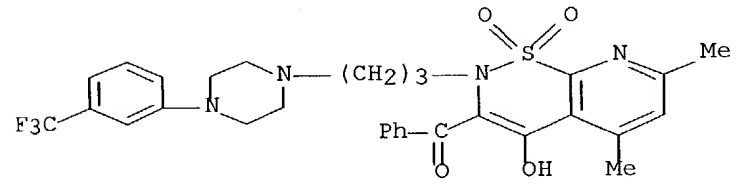
RN 508183-73-1 CAPLUS

CN Methanone, [4-hydroxy-2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



RN 508183-75-3 CAPLUS

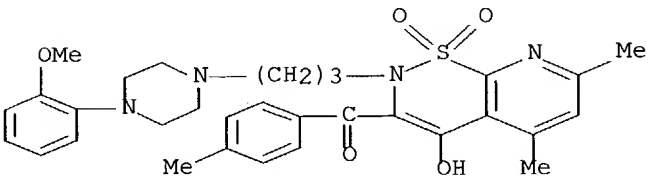
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



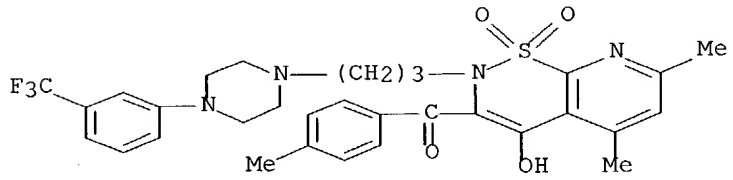
RN 508183-76-4 CAPLUS

CN Methanone, [4-hydroxy-2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-

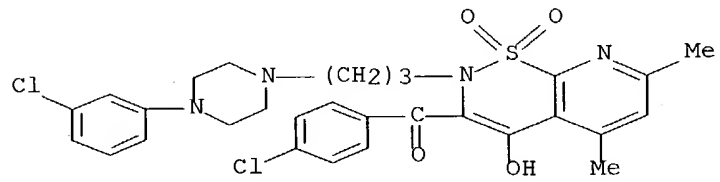
5,7-
dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl](4-methylphenyl)-
(9CI) (CA INDEX NAME)



RN 508183-77-5 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-[3-
(trifluoromethyl)phenyl]-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-
thiazin-3-yl](4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 508183-81-1 CAPLUS
CN Methanone, (4-chlorophenyl)[2-[3-[4-(3-chlorophenyl)-1-
piperazinyl]propyl]-
4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]-
(9CI) (CA INDEX NAME)

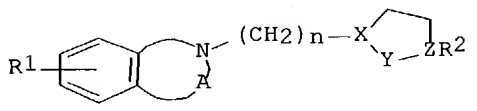


RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:31419 CAPLUS Full-text
DN 136:85830
TI Preparation of bicyclic lactams and sulfonamides as 5-HT1A agonists
IN Steiner, Gerd; Schellhaas, Kurt; Szabo, Laszlo; Behl, Berthold; Garcia-Ladona, Francisco Javier; Unger, Liliane
PA Knoll G.m.b.H., Germany
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002529	A1	20020110	WO 2001-EP7571	20010702
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10031391	A1	20020207	DE 2000-10031391	20000703
	EP 1296954	A1	20030402	EP 2001-954000	20010702
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004502676	T2	20040129	JP 2002-507786	20010702
	US 2004138203	A1	20040715	US 2003-312813	20031215
PRAI	DE 2000-10031391	A	20000703		
	WO 2001-EP7571	W	20010702		

OS MARPAT 136:85830
GI



I

AB Title compds. [I; the ring including NA can be a 5-7 membered ring containing O, S, or double bond; A = CO, SO2; X = N; Y = CH2, CH2CH2, (CH2)3, CH2CH; Z = N, C, CH; n = 2-4; R1 = H, halo, alkyl, CF3, OH, alkoxy, amino; R2 = (substituted) (anellated) Ph, pyridyl, pyrazinyl] and salts thereof, were prepared Thus, isoquinoline in DMF was stirred with NaH for 30 min. followed by addition of 1-[4-(2-chloroethyl)-1-piperazinyl]isoquinoline (preparation given) and stirring for 2 h at 80° to give 82% 2-[2-(4-(1-isoquinolinyl)-1-piperazinyl)ethyl]-1(2H)-isoquinoline.2HCl.2H2O. Tested I showed affinity for the 5-HT1A receptor with Ki = 0.1-5.4 nM in HEK 293 cells.

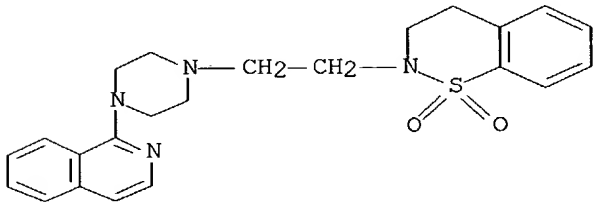
IT **387399-39-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic lactams and sulfonamides as 5-HT1A agonists)

RN 387399-39-5 CAPLUS

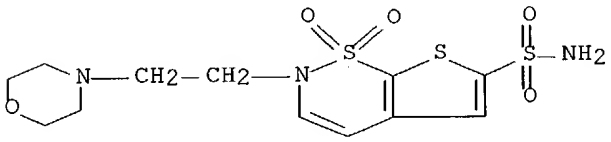
CN 2H-1,2-Benzothiazine, 3,4-dihydro-2-[2-[4-(1-isoquinolinyl)-1-piperazinyl]ethyl]-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

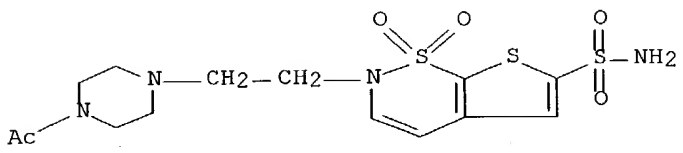
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:395926 CAPLUS Full-text
DN 133:129514
TI 2H-Thieno[3,2-e]- and [2,3-e]-1,2-thiazine-6-sulfonamide 1,1-dioxides as ocular hypotensive agents: synthesis, carbonic anhydrase inhibition and evaluation in the rabbit
AU Chen, H.-H.; Gross, S.; Liao, J.; McLaughlin, M.; Dean, T.; Sly, W. S.; May, J. A.
CS Ophthalmic Products Research, Alcon Research, Ltd., Fort Worth, TX, 76134, USA
SO Bioorganic & Medicinal Chemistry (2000), 8(5), 957-975
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB Novel non-chiral 2H-thieno[3,2-e]- and [2,3-e]-1,2-thiazine-6-sulfonamide 1,1-dioxides were synthesized for evaluation as potential candidates for the treatment of glaucoma. All of the compds. prepared were potent high affinity inhibitors of human carbonic anhydrase II, Ki<0.5 nM. Addnl., inhibition of recombinant human carbonic anhydrase IV was determined for selected compds.; these were shown to be moderate to potent inhibitors of this isoenzyme with IC50 values ranging from 4.25 to 73.6 nM. Of the compds. evaluated for their ability to lower intraocular pressure in naturally hypertensive Dutch-belted rabbits, several showed significant efficacy (>20% decrease) in this model following topical ocular administration.
IT **171272-69-8P 171272-77-8P 171272-87-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents: synthesis and carbonic anhydrase inhibition)
RN 171272-69-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

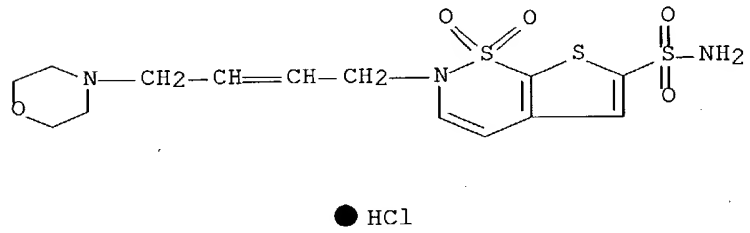


RN 171272-77-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-1,1-dioxido-2H-thieno[3,2-

e]-
1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

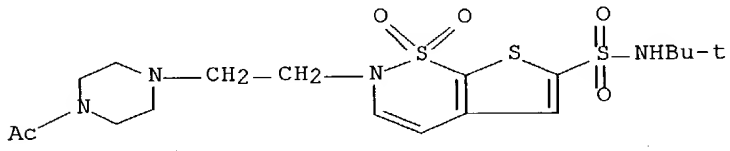


RN 171272-87-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

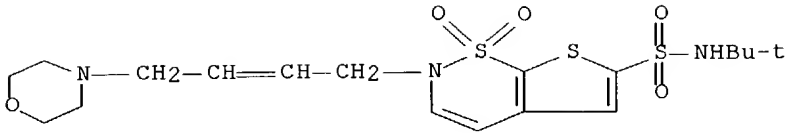


● HCl

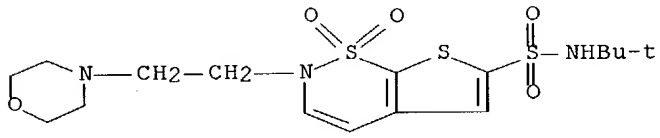
IT **171273-55-5P 171273-66-8P 286958-36-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents:
synthesis and carbonic anhydrase inhibition)
RN 171273-55-5 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-[[(1,1-dimethylethyl)amino]sulfonyl]-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 171273-66-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 286958-36-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

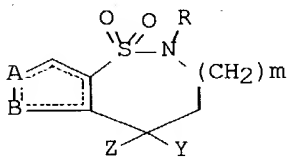


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

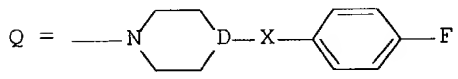
L4 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:449035 CAPLUS Full-text
DN 131:116257
TI Preparation of pyrrole sulfonamide derivatives as serotonin-2 receptor antagonists
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Chie; Fukami, Harukazu; Inomata, Norio
PA Suntory, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11193289	A2	19990721	JP 1997-366756	19971226
	WO 9933840	A2	19990708	WO 1998-JP5954	19981225
	WO 9933840	A3	19990910		
	W: AU, CA, CN, HU, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9916906	A1	19990719	AU 1999-16906	19981225
	AU 752095	B2	20020905		
	EP 970088	A2	20000112	EP 1998-961598	19981225
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6271223	B1	20010807	US 1999-367841	19990826
	US 2002040017	A1	20020404	US 2001-871655	20010604
	US 6624314	B2	20030923		
	US 2004127705	A1	20040701	US 2003-615836	20030710
PRAI	JP 1997-366756	A	19971226		
	WO 1998-JP5954	W	19981225		
	US 1999-367841	A3	19990826		
	US 2001-871655	A3	20010604		

OS MARPAT 131:116257
GI



I



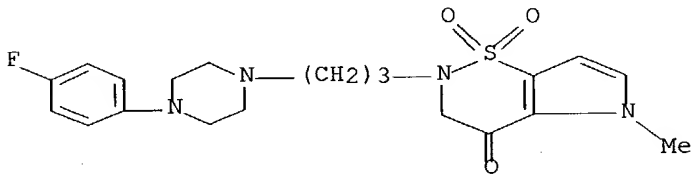
AB Title compds. [I; A = CH, NMe; B = NMe, CH; dotted bonds = single, double; m = 0, 1; D = CH, N; X = bond, CO; Y-Z = :O, :NOH; Y = H; Z = OH; R = CH2CH2CH2Q] and their salts are prepared as serotonin 2 receptor antagonists on treatment of circulatory system disease with low side effect. Thus, the title compound I (A = CH; B = NMe; m = 1; D = N; Y-Z = :O; X = bond; dotted bonds were single and double related to B) was prepared and tested for anti-5-HT and anti-α1 actions in guinea pig.

IT **232619-90-8P**
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2
receptor antagonists)

RN 232619-90-8 CAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

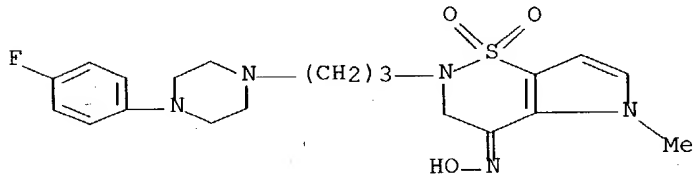


IT **232619-94-2P 232619-95-3P 232619-98-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2
receptor antagonists)

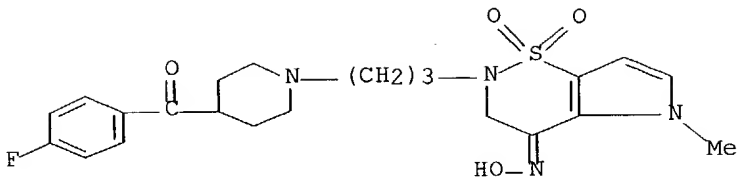
RN 232619-94-2 CAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



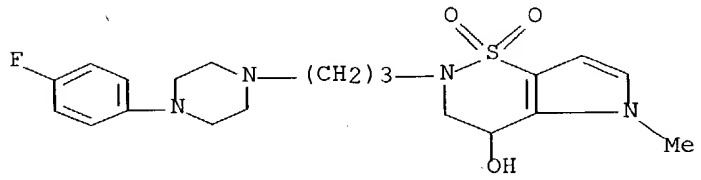
RN 232619-95-3 CAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-2,3-dihydro-5-methyl-, 4-oxime, 1,1-dioxide (9CI) (CA
INDEX NAME)



RN 232619-98-6 CAPLUS

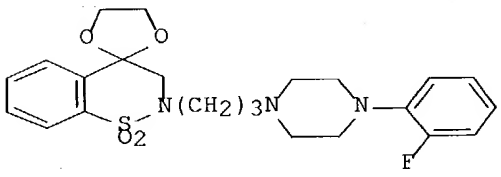
CN Pyrrolo[2,3-e]-1,2-thiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3,4,5-tetrahydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



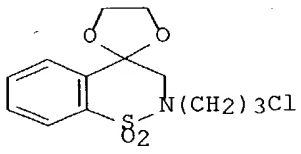
L4 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:152289 CAPLUS Full-text
DN 130:196660
TI Benzothiazine derivatives.
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Tomoe; Inomata, Norio
PA Suntory Limited, Japan
SO U.S., 60 pp., Cont.-in-part of U.S. Ser. No. 507,239.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5874429	A	19990223	US 1996-669615	19960624
	WO 9518117	A1	19950706	WO 1994-JP2194	19941222
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 09012562	A2	19970114	JP 1995-177976	19950622
	US 6001827	A	19991214	US 1998-192287	19981116
	US 6316442	B1	20011113	US 1999-379853	19990824
	US 2003078256	A1	20030424	US 2001-955416	20010919
	US 6664251	B2	20031216		
PRAI	JP 1993-345865	A	19931224		
	WO 1994-JP2194	W	19941222		
	JP 1995-177976	A	19950622		
	US 1995-507239	A2	19950824		
	US 1996-669615	A3	19960624		
	US 1998-192287	A3	19981116		
	US 1999-379853	A3	19990824		

OS MARPAT 130:196660
GI



I



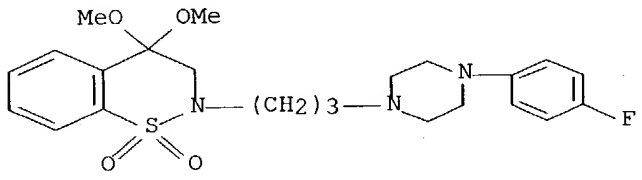
II

AB Benzothiazine derivs. such as I were prepared as serotonin-2 and α1 blockers. Thus, 1 mmol of II, 1 mmol of 1-(2-fluorophenyl)piperazine hydrochloride, 4 mmol of NaHCO3, and 2 mmol of NaI were refluxed in 15 mL of MeCN for 18 h to give a 50% yield of I. In tests of anti-serotonin activity in the superior mesenteric artery of guinea pigs, I at 10-7 and 10-6 M lowered contractions to 38.3 and 7.5%, resp., of control (contractions induced by 10-5 M serotonin).

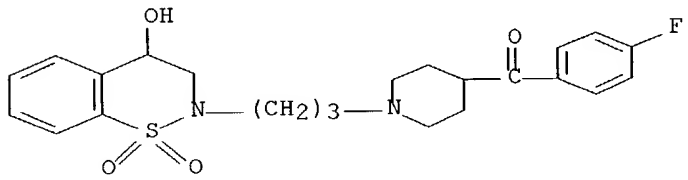
IT **170631-53-5P 170631-74-0P 170631-75-1P**
220716-37-0P 220716-38-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(benzothiazine derivs. as serotonin-2 blockers)

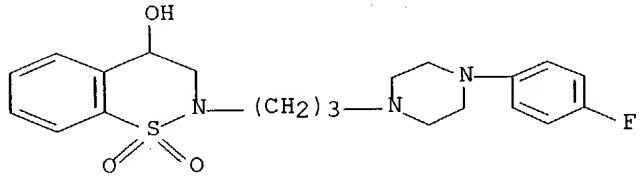
RN 170631-53-5 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-4,4-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



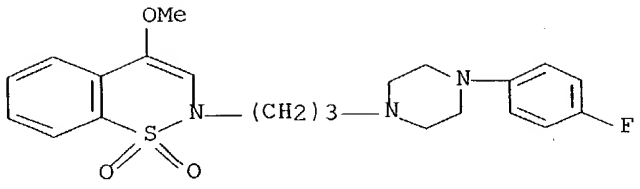
RN 170631-74-0 CAPLUS
CN Methanone, [1-[3-(3,4-dihydro-4-hydroxy-1,1-dioxido-2H-1,2-benzothiazin-2-yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 170631-75-1 CAPLUS
CN 2H-1,2-Benzothiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

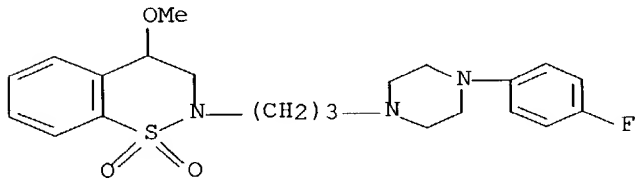


RN 220716-37-0 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-4-methoxy-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)



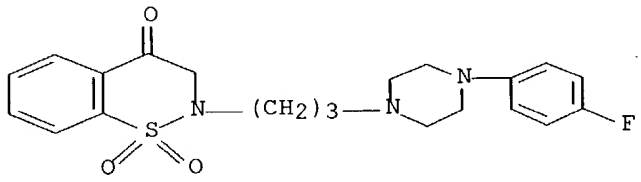
●2 HCl

RN 220716-38-1 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-
dihydro-4-methoxy-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

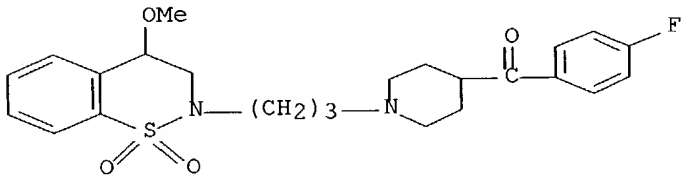
IT **170631-68-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(benzothiazine derivs. as serotonin-2 blockers)
RN 170631-68-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



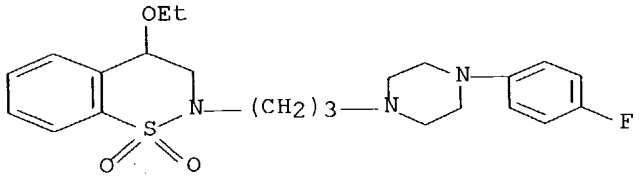
IT **170631-56-8P 170631-57-9P 170631-58-0P**
170631-69-3P 170631-70-6P 170631-71-7P

170631-72-8P 170631-73-9P 170631-76-2P
170631-77-3P 220716-39-2P 220716-42-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(benzothiazine derivs. as serotonin-2 blockers)

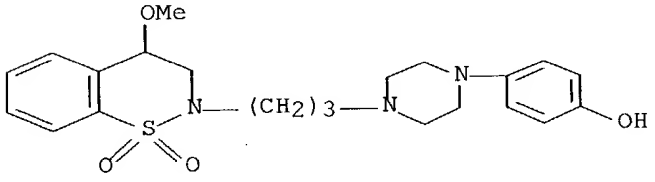
RN 170631-56-8 CAPLUS
CN Methanone, [1-[3-(3,4-dihydro-4-methoxy-1,1-dioxido-2H-1,2-benzothiazin-2-yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



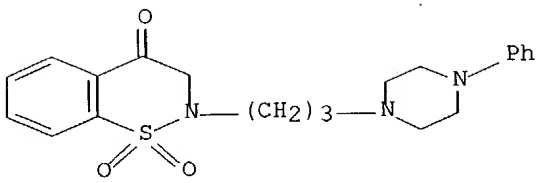
RN 170631-57-9 CAPLUS
CN 2H-1,2-Benzothiazine, 4-ethoxy-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



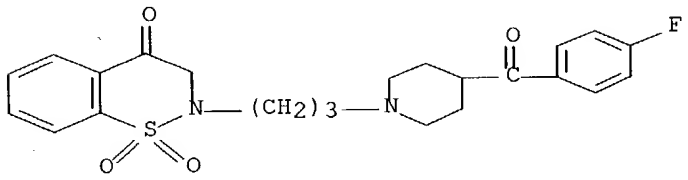
RN 170631-58-0 CAPLUS
CN Phenol, 4-[4-[3-(3,4-dihydro-4-methoxy-1,1-dioxido-2H-1,2-benzothiazin-2-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



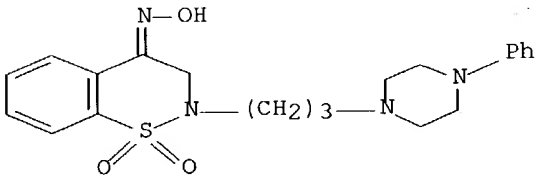
RN 170631-69-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenyl-1-piperazinyl)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



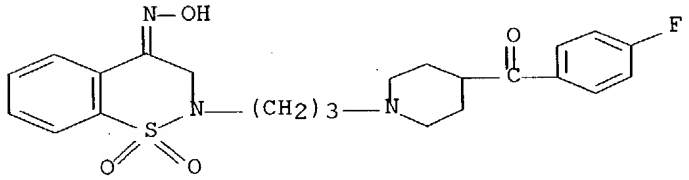
RN 170631-70-6 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-
2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



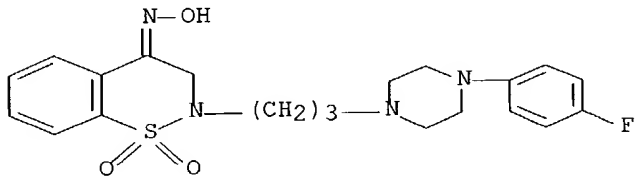
RN 170631-71-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenyl-1-piperazinyl)propyl]-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



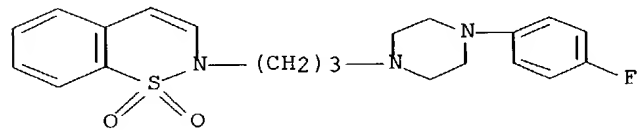
RN 170631-72-8 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-
2,3-dihydro-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



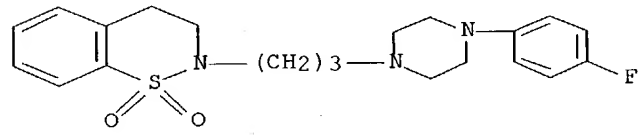
RN 170631-73-9 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
2,3-dihydro-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



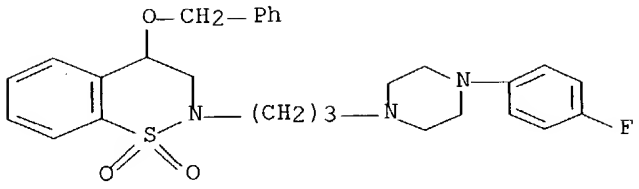
RN 170631-76-2 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-,
1,1-dioxide (9CI) (CA INDEX NAME)



RN 170631-77-3 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-
dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

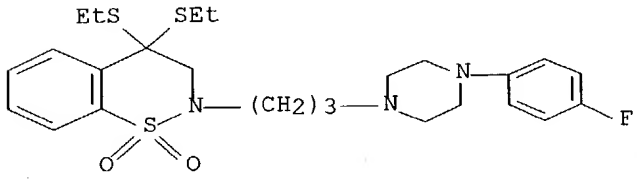


RN 220716-39-2 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-
dihydro-4-(phenylmethoxy)-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX
NAME)



●2 HCl

RN 220716-42-7 CAPLUS
CN 2H-1,2-Benzothiazine, 4,4-bis(ethylthio)-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide, dihydrochloride (9CI) (CA INDEX NAME)



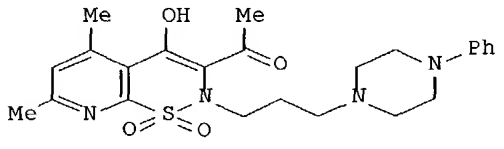
●2 HCl

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:257352 CAPLUS Full-text
DN 126:238385
TI Preparation of novel pyrido[3,2-e]-1,2-thiazine derivative as psychotropic agent
IN Malinka, Wieslaw; Kleinrok, Zdzislaw; Sieklucka, Maria
PA Akademia Medyczna, Pol.
SO Pol., 3 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 170394	B1	19961231	PL 1993-299530	19930701
PRAI PL 1993-299530		19930701		

GI

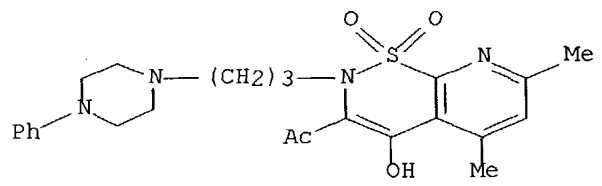


I

AB The title compound I, useful as psychotropic agent, was prepared in 56% yield by reaction of 2H-3-acetyl-4-hydroxy-5,7-dimethylpyrido[3,2-e]-1,2- thiazine 1,1-dioxide with 1-chloro-3-(4-phenyl-1-piperazinyl)propane in the presence of NaOEt in EtOH. Compound I showed LD50 of 1753.9 mg/kg, and, e.g., decreased spontaneous mobility in mice at 1/80 LD50.

IT **164357-31-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel pyrido[3,2-e]-1,2-thiazine derivative as psychotropic agent)

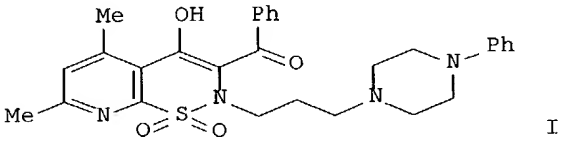
RN 164357-31-7 CAPLUS
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:257351 CAPLUS Full-text
DN 126:238384
TI Preparation of novel pyrido[3,2-e]-1,2-thiazine as psychotropic agent
IN Malinka, Wieslaw; Kleinrok, Zdzislaw; Sieklucka, Maria
PA Akademia Medyczna, Pol.
SO Pol., 4 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 170371	B1	19961231	PL 1993-299532	19930701
PRAI PL 1993-299532		19930701		

GI

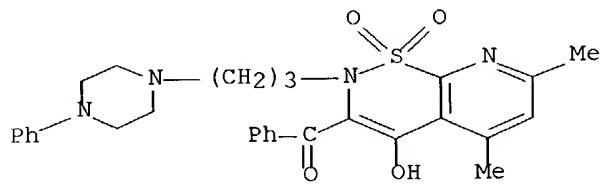


I

AB The title compound I, useful as psychotropic agent, was prepared in 60% yield by reaction of 2H-3-benzoyl-4-hydroxy-5,7-dimethylpyrido[3,2-e]-1,2- thiazine 1,1-dioxide with 1-chloro-3-(4-phenyl-1-piperazinyl)propane in the presence of NaOEt in EtOH. Compound I showed LD50 of > 2000 mg/kg, and, e.g., decreased spontaneous mobility in mice and rats at 1/40 LD50.

IT **164357-32-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel pyrido[3,2-e]-1,2-thiazine as psychotropic agent)

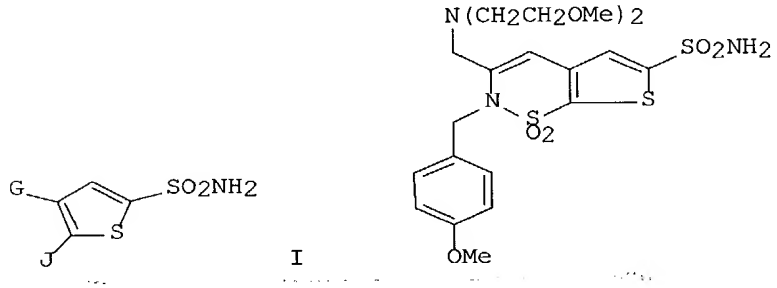
RN 164357-32-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



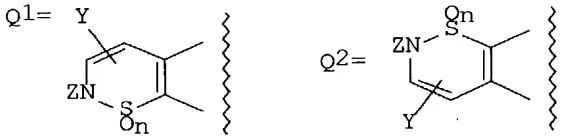
L4 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:486144 CAPLUS Full-text
DN 125:167999
TI Preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors.
IN May, Jesse A.; Chen, Hwang-hsing; Dupr, E. Brian; Dean, Thomas R.
PA Alcon Laboratories, Inc., USA
SO U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 184,430,abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5538966	A	19960723	US 1995-374470	19950120
	WO 9622099	A1	19960725	WO 1995-US9144	19950720
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9531370	A1	19960807	AU 1995-31370	19950720
PRAI	US 1994-184430		19940121		
	US 1995-374470		19950120		
	WO 1995-US9144		19950720		

OS MARPAT 125:167999
GI



I II

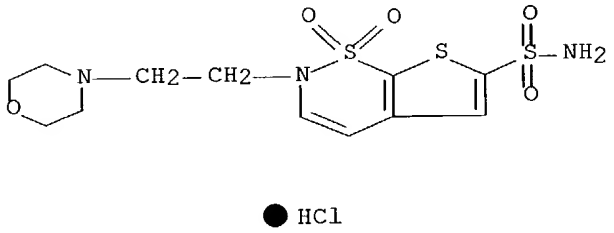


AB Title compds. [I; G, J and the C atoms they are connected to = Q1, Q2; Y = H, (substituted) alkyl, alkenyl, alkynyl; Z = carboxymethyl, cyanomethyl, aminocarbonylmethyl, (substituted) alkyl, alkenyl, alkynyl, Ph, etc.; n = 0-2], were prepared for treatment of glaucoma (no data). Thus, N-[[3-(1,3-dioxolan-2-yl)-2-thienyl]sulfonyl]-N-(4-methoxyphenylmethyl)glycine Et ester (preparation given) was refluxed 3 h with p-toluenesulfonic acid in acetone to give Et 2-(4-methoxyphenylmethyl)-2H- thieno[3,2-e]-1,2-thiazine-3-carboxylate 1,1-dioxide, which was converted to title compound (II) in several steps. I drug formulations are given.

IT **171272-69-8P 171272-70-1P 171272-77-8P 171272-87-0P 180527-18-8P 180527-28-0P 180527-41-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

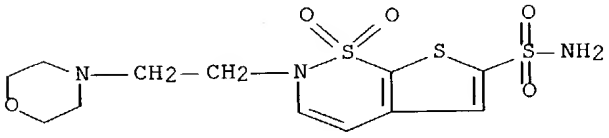
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors)

RN 171272-69-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

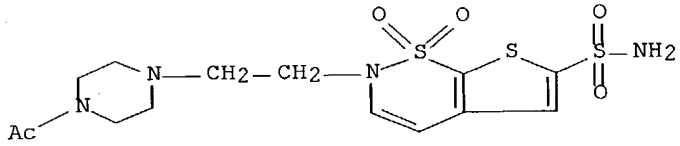


● HCl

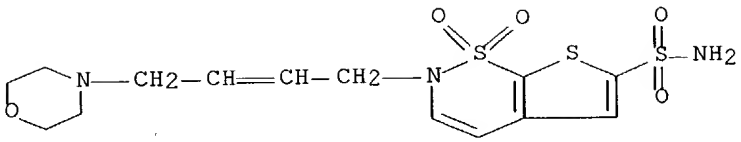
RN 171272-70-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171272-77-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

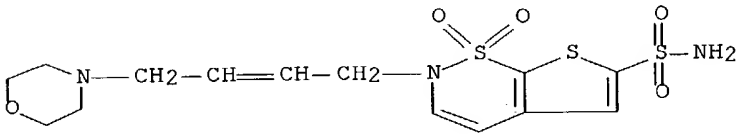


RN 171272-87-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

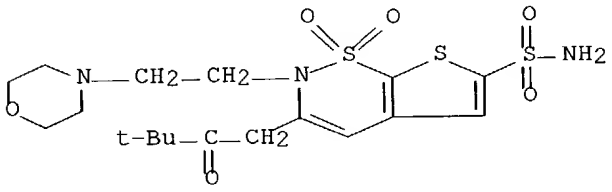


● HCl

RN 180527-18-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

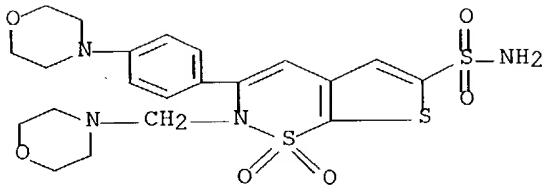


RN 180527-28-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(3,3-dimethyl-2-oxobutyl)-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



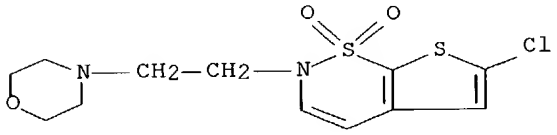
● HCl

RN 180527-41-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(4-morpholinylmethyl)-3-[4-(4-morpholinyl)phenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

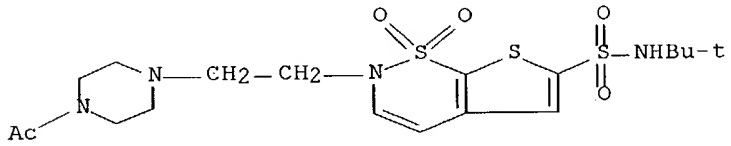


● HCl

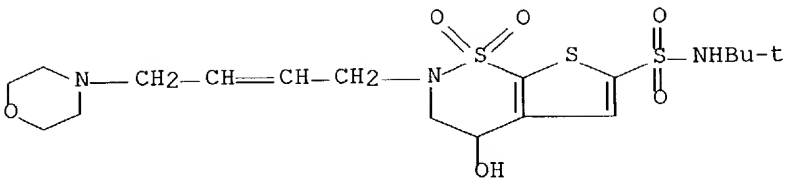
IT **171273-45-3P 171273-55-5P 171273-65-7P**
171273-66-8P 171273-87-3P 171273-88-4P
180527-43-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors)
RN 171273-45-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 6-chloro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



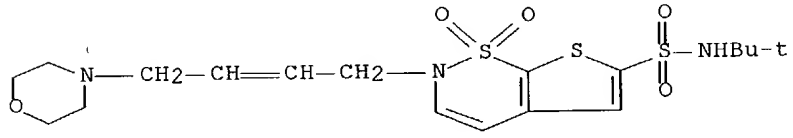
RN 171273-55-5 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-[[(1,1-dimethylethyl)amino]sulfonyl]-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



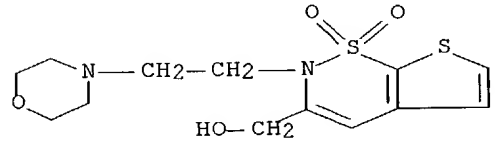
RN 171273-65-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-3,4-dihydro-4-hydroxy-2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA
INDEX NAME)



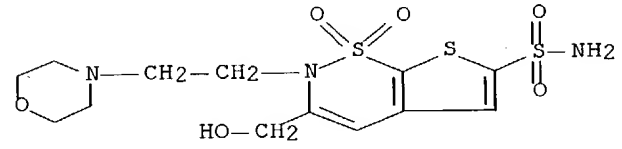
RN 171273-66-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



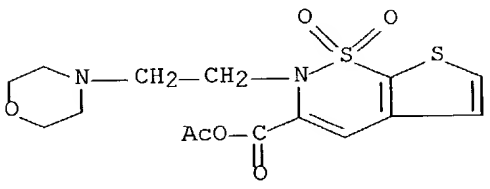
RN 171273-87-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-3-methanol, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-88-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(hydroxymethyl)-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



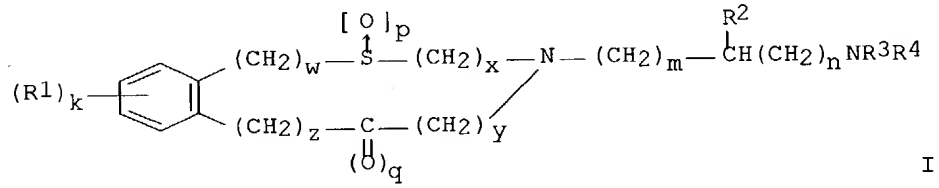
RN 180527-43-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-3-carboxylic acid, 2-[2-(4-morpholinyl)ethyl]-, anhydride with acetic acid, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:996307 CAPLUS Full-text
DN 124:146182
TI Preparation of benzothiazine derivatives for inhibiting dysuria
IN Masaki, Mitsuo; Miyake, Norihisa; Tendo, Atsushi; Ishida, Michiko; Shinozaki, Atsuhiko; Nomura, Yutaka; Goto, Yasunori
PA Nippon Chemiphar Co., Ltd., Japan
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9526959	A1	19951012	WO 1995-JP632	19950331
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	JP 07278125	A2	19951024	JP 1994-85831	19940331
	AU 9520849	A1	19951023	AU 1995-20849	19950331
	JP 08003152	A2	19960109	JP 1995-100505	19950331
	EP 753514	A1	19970115	EP 1995-913402	19950331
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1148853	A	19970430	CN 1995-193184	19950331
	US 5773437	A	19980630	US 1996-722112	19960930
	AU 9897203	A1	19990304	AU 1998-97203	19981218
PRAI	JP 1994-85831		19940331		
	JP 1994-103345		19940418		
	AU 1995-20849		19950331		
	WO 1995-JP632		19950331		

OS MARPAT 124:146182
GI



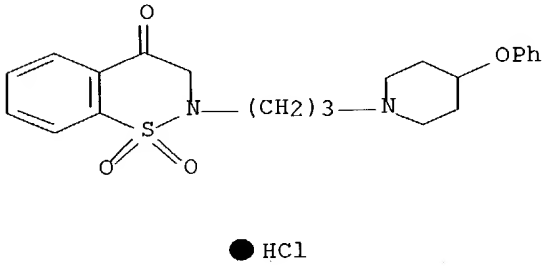
I

AB The title compds. I [R1 represents hydrogen, alkyl, halogen, haloalkyl, hydroxy, alkoxy, nitro, amino, cyano, etc.; R2 represents hydrogen, alkyl, aryl, etc.; R3 and R4 represent each alkyl, etc., or R3 and R4 are combined together to form an optionally substituted heterocyclic group; k represents an integer of 1 to 4; m and n represent each an integer of 0 to 4; p+q = 0 to 4, wherein p is 0, 1 or 2 and q is 0 or 1; and w, x, y and z represent each an integer of 0 to 2, and w+x+y+z = 1 or 2, provided when R1 to R4 represent each a specifically limited group, w+x+y+z may be 0] are prepared 2-[3-(4-Phenoxypiperidino)propyl]-2H-1,2-benzothiazin-4(3H)- one 1,1-dioxide hydrochloride (II) was prepared in several steps starting from 2H-1,2-benzothiazin-4(3H)-one 1,1-dioxide ethylene ketal. II at 1 mg/kg i. v. inhibited urinary bladder contractions in rats.

IT **173365-19-0P 173365-20-3P 173365-21-4P**

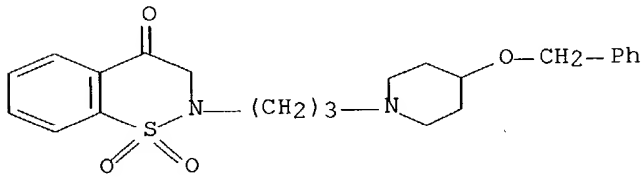
173365-24-7P 173365-25-8P 173365-32-7P
173365-33-8P 173365-36-1P 173365-38-3P
173365-39-4P 173365-40-7P 173365-41-8P
173365-43-0P 173365-45-2P 173365-46-3P
173365-47-4P 173365-48-5P 173365-49-6P
173365-50-9P 173365-67-8P 173365-68-9P
173365-69-0P 173365-70-3P 173365-71-4P
173365-72-5P 173365-73-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazine derivs. for inhibiting dysuria)

RN 173365-19-0 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenoxy-1-piperidinyl)propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

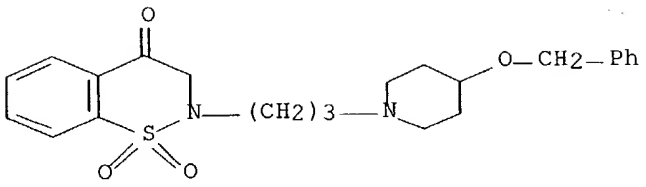


● HCl

RN 173365-20-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-[4-(phenylmethoxy)-1-piperidinyl]propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

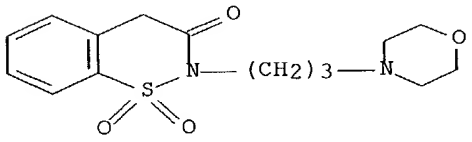


RN 173365-21-4 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-[4-(phenylmethoxy)-1-piperidinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

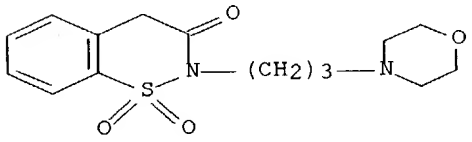
RN 173365-24-7 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[3-(4-morpholinyl)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 173365-25-8 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[3-(4-morpholinyl)propyl]-, 1,1-dioxide,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

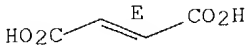
CRN 173365-24-7
CMF C15 H20 N2 O4 S



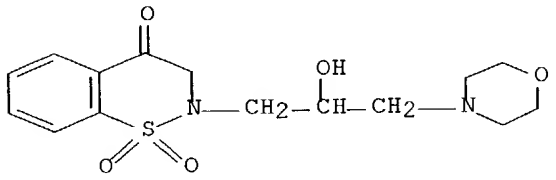
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



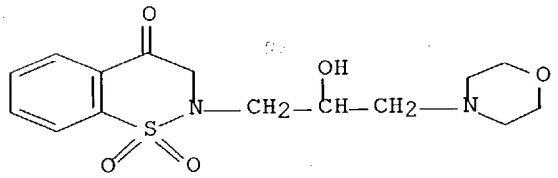
RN 173365-32-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[2-hydroxy-3-(4-morpholinyl)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 173365-33-8 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[2-hydroxy-3-(4-morpholinyl)propyl]-, 1,1-dioxide, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

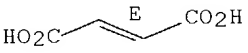
CRN 173365-32-7
CMF C15 H20 N2 O5 S



CM 2

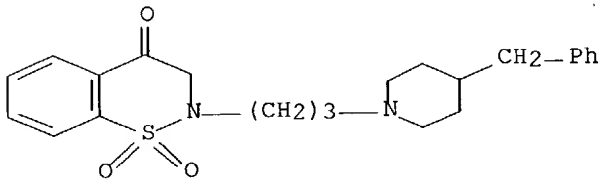
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



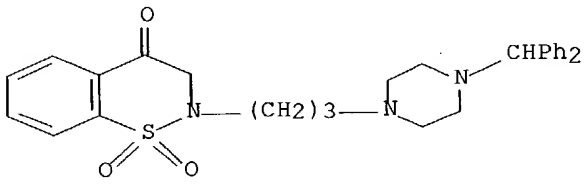
RN 173365-36-1 CAPLUS

CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



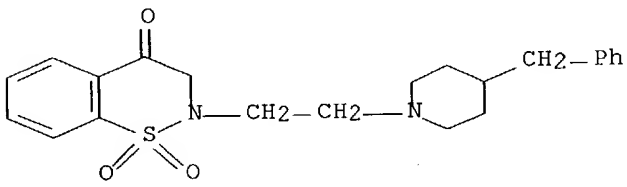
● HCl

RN 173365-38-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-2,3-dihydro-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



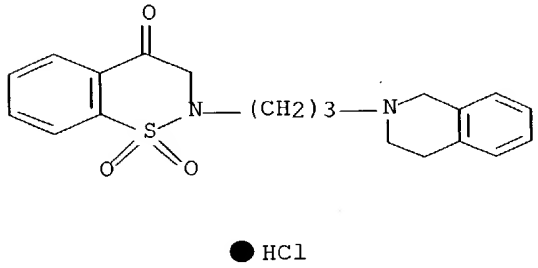
● HCl

RN 173365-39-4 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



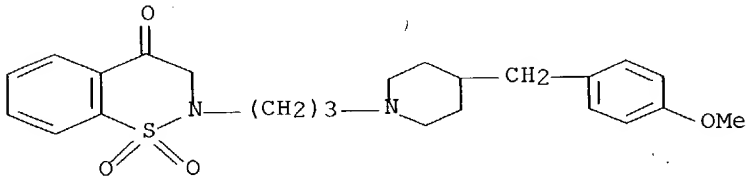
● HCl

RN 173365-40-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-(3,4-dihydro-2(1H)-isoquinolinyl)propyl]-
2,3-dihydro-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 173365-41-8 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-[4-[(4-methoxyphenyl)methyl]-1-
piperidinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

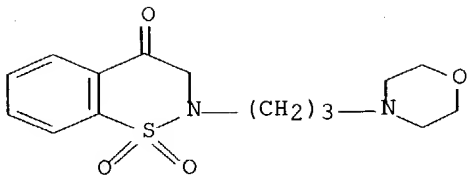


● HCl

RN 173365-43-0 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)propyl]-,
1,1-dioxide, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

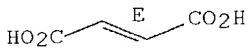
CRN 173365-42-9
CMF C15 H20 N2 O4 S



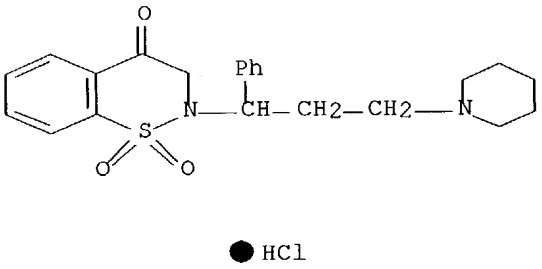
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

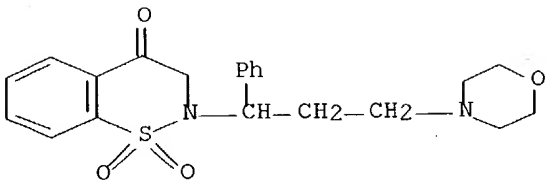


RN 173365-45-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[1-phenyl-3-(1-piperidinyl)propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

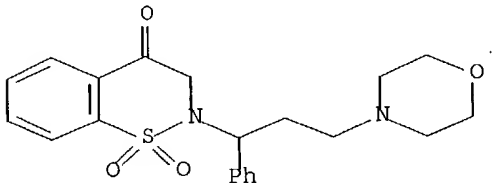
RN 173365-46-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)-1-phenylpropyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 173365-47-4 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)-1-phenylpropyl]-, 1,1-dioxide, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

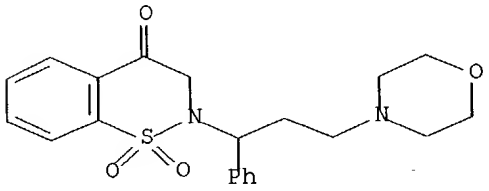
Rotation (-).



● HCl

RN 173365-48-5 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)-1-phenylpropyl]-, 1,1-dioxide, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

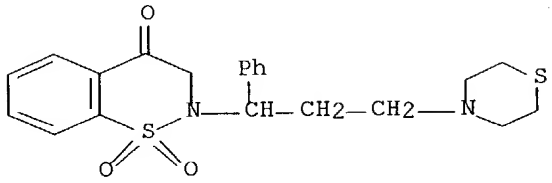
Rotation (+).



● HCl

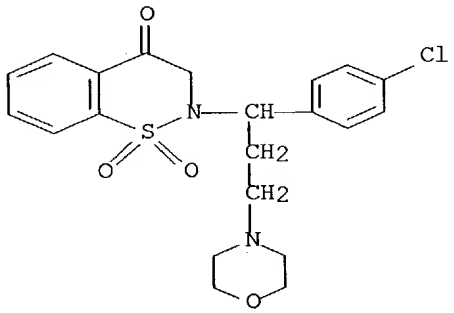
RN 173365-49-6 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[1-phenyl-3-(4-

thiomorpholinyl)propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

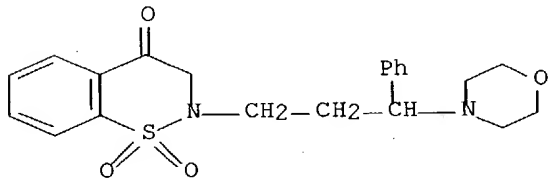


● HCl

RN 173365-50-9 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[1-(4-chlorophenyl)-3-(4-morpholinyl)propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

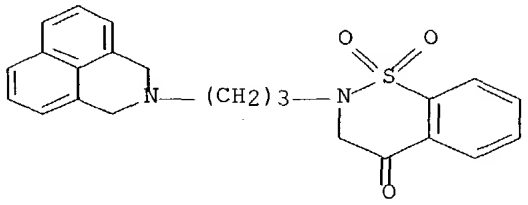


RN 173365-67-8 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-morpholinyl)-3-phenylpropyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

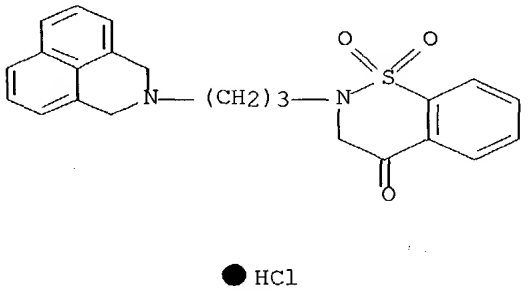


● HCl

RN 173365-68-9 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-(1H-benz[de]isoquinolin-2(3H)-yl)propyl]-
2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

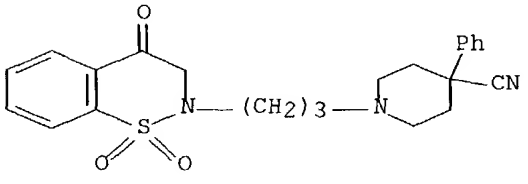


RN 173365-69-0 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-(1H-benz[de]isoquinolin-2(3H)-yl)propyl]-
2,3-dihydro-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 173365-70-3 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-(3,4-dihydro-1,1-dioxido-4-oxo-2H-1,2-benzothiazin-2-yl)propyl]-4-phenyl- (9CI) (CA INDEX NAME)

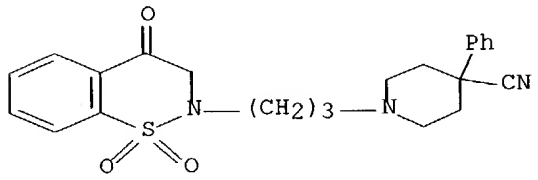


RN 173365-71-4 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-(3,4-dihydro-1,1-dioxido-4-oxo-2H-1,2-

benzothiazin-2-yl)propyl]-4-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA
INDEX NAME)

CM 1

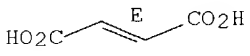
CRN 173365-70-3
CMF C23 H25 N3 O3 S



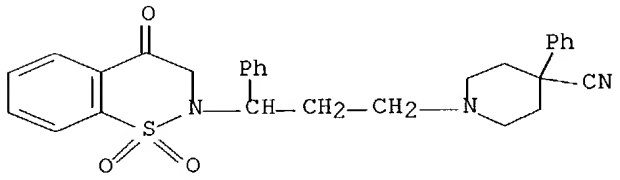
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



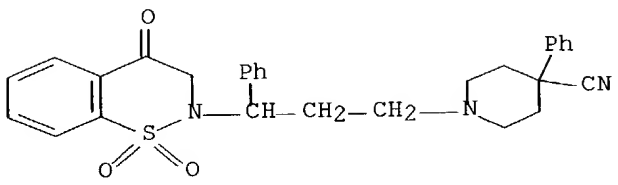
RN 173365-72-5 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-(3,4-dihydro-1,1-dioxido-4-oxo-2H-1,2-benzothiazin-2-yl)-3-phenylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 173365-73-6 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-(3,4-dihydro-1,1-dioxido-4-oxo-2H-1,2-benzothiazin-2-yl)-3-phenylpropyl]-4-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

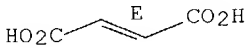
CRN 173365-72-5
CMF C29 H29 N3 O3 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

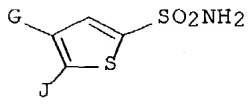
Double bond geometry as shown.



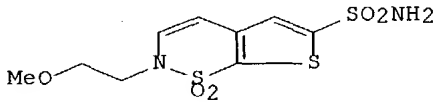
L4 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:975365 CAPLUS Full-text
DN 124:8833
TI Preparation and formulation of thienothiazinesulfonamides as carbonic anhydrase inhibitors
IN May, Jesse Albert; Chen, Hwang-Hsing; Dupre, Brian; Dean, Thomas R.
PA Alcon Laboratories, Inc., USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9519981	A1	19950727	WO 1995-US775	19950120
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9516848	A1	19950808	AU 1995-16848	19950120
PRAI	US 1994-184430		19940121		
	WO 1995-US775		19950120		

OS MARPAT 124:8833
GI



I

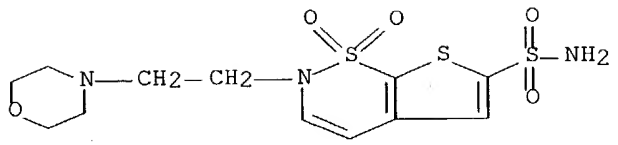


II

AB Title compds. [I; GJ = (un)substituted CH:CHNRSOn, -SOnNRCH:CH; R = (un)substituted alk(en)yl, CH2CO2H, alkoxycarbonylmethyl, CH2CONH2, heteroaryl, etc.; n = 0-2] were prepared as carbonic anhydrase inhibitors (no data). Thus, 3-acetyl-2-thiophenesulfonamide (preparation given) was brominated and the product cyclized to give 3,4-dihydro-2H-thieno[3,2-e]- 1,2-thiazin-4-ol 1,1-dioxide which was converted in 7 steps to title compound II.

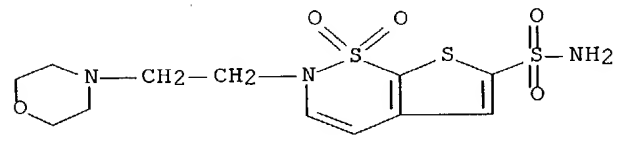
IT **171272-69-8P 171272-70-1P 171272-77-8P**
171272-87-0P 171272-88-1P 171273-00-0P
171273-01-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors)

RN 171272-69-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

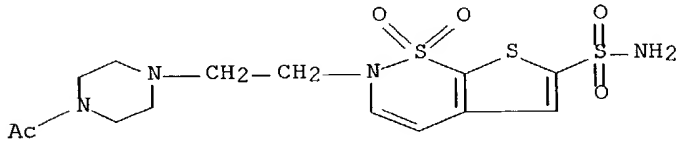


● HCl

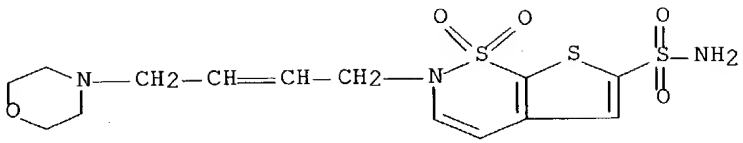
RN 171272-70-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(4-morpholinyl)ethyl]-,
1,1-dioxide (9CI) (CA INDEX NAME)



RN 171272-77-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-1,1-dioxido-2H-thieno[3,2-e]-
1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

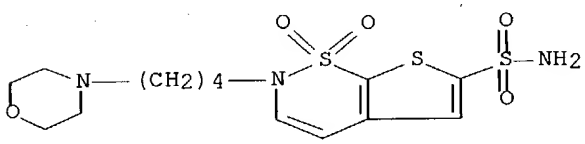


RN 171272-87-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

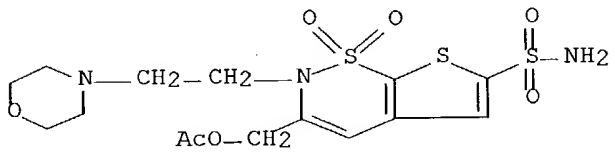


● HCl

RN 171272-88-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[4-(4-morpholinyl)butyl]-
,
1,1-dioxide (9CI) (CA INDEX NAME)

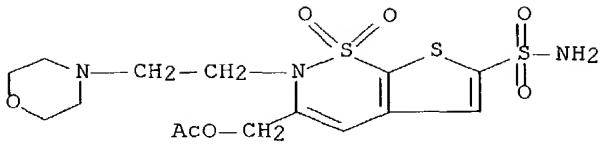


RN 171273-00-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-[(acetyloxy)methyl]-2-[2-
(4-
morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX
NAME)

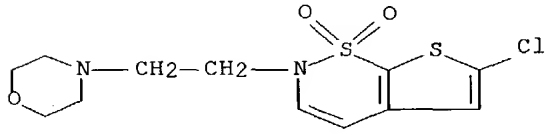


● HCl

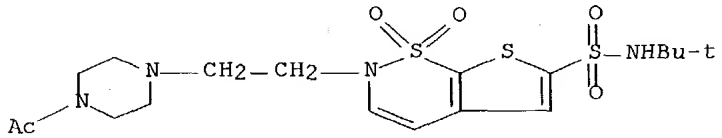
RN 171273-01-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-[(acetyloxy)methyl]-2-[2-
(4-
morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



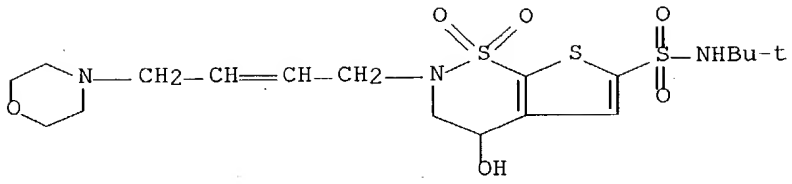
IT **171273-45-3P 171273-55-5P 171273-65-7P**
171273-66-8P 171273-86-2P 171273-87-3P
171273-88-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors)
RN 171273-45-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 6-chloro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



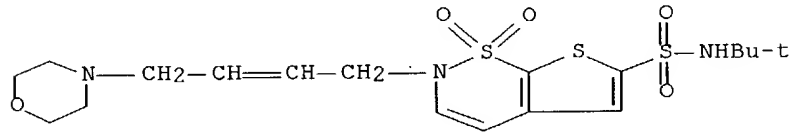
RN 171273-55-5 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-[[(1,1-dimethylethyl)amino]sulfonyl]-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



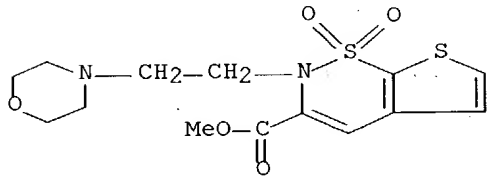
RN 171273-65-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-3,4-dihydro-4-hydroxy-2-[4-(4-morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA
INDEX NAME)



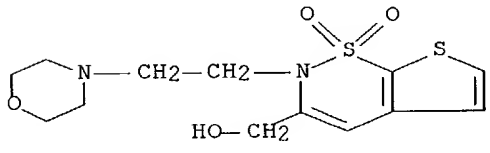
RN 171273-66-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-[4-(4-
morpholinyl)-2-butenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-86-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-3-carboxylic acid, 2-[2-(4-
morpholinyl)ethyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

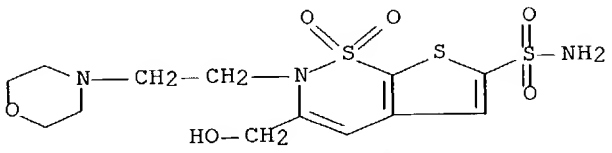


RN 171273-87-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-3-methanol, 2-[2-(4-morpholinyl)ethyl]-,
1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-88-4 CAPLUS

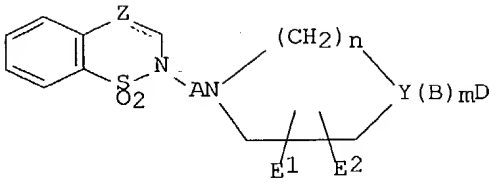
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(hydroxymethyl)-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



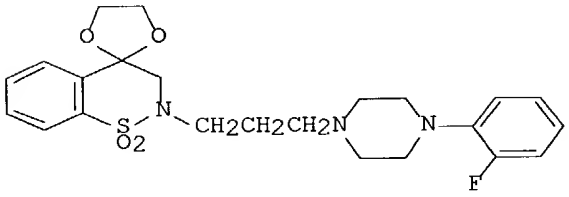
L4 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:933997 CAPLUS Full-text
DN 123:340165
TI Preparation of benzothiazine derivatives as serotonin 2 antagonists and α1 blockers
IN Mizuno, Akira; Shibata, Makoto; Iwamori, Tomoe; Inomata, Norio
PA Suntory Ltd., Japan
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9518117	A1	19950706	WO 1994-JP2194	19941222
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2156849	AA	19950706	CA 1994-2156849	19941222
	AU 9513710	A1	19950717	AU 1995-13710	19941222
	AU 690622	B2	19980430		
	EP 686632	A1	19951213	EP 1995-903941	19941222
	EP 686632	B1	20030806		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1119859	A	19960403	CN 1994-191572	19941222
	CN 1058492	B	20001115		
	AT 246682	E	20030815	AT 1995-903941	19941222
	ES 2201094	T3	20040316	ES 1995-903941	19941222
	US 5874429	A	19990223	US 1996-669615	19960624
	US 6001827	A	19991214	US 1998-192287	19981116
	US 6316442	B1	20011113	US 1999-379853	19990824
	CN 1281854	A	20010131	CN 2000-103863	20000310
	US 2003078256	A1	20030424	US 2001-955416	20010919
	US 6664251	B2	20031216		
PRAI	JP 1993-345865	A	19931224		
	WO 1994-JP2194	W	19941222		
	JP 1995-177976	A	19950622		
	US 1995-507239	A2	19950824		
	US 1996-669615	A3	19960624		
	US 1998-192287	A3	19981116		
	US 1999-379853	A3	19990824		

OS MARPAT 123:340165
GI



I



II

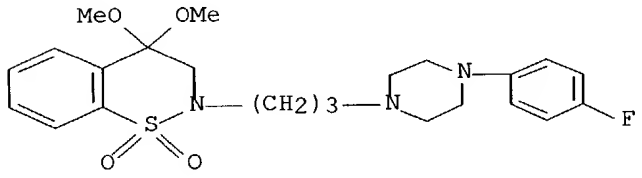
AB The title compds. I [broken line indicates the presence or absence of a bond; Z represents C(OR1):, etc.; R1 represents alkyl, aralkyl, etc.; A represents alkylene, alkenylene, etc.; Y represents CH, C: or N, provided when Y is CH, then m represents 0 or 1, n represents 1 or 2, and B represents O, S, carbonyl, etc., when Y is C: , then m represenys 1, n represents 1 or 2, and B represents :CR6 (wherein the double bond is bound to Y, and R6 represents optionally substituted aryl, etc.), and when Y is N, then m represents 0 or 1, n represents 2 of 3, and B represents carbonyl, etc.; E1 and E2 represent each H or lower alkyl; and D represents an aromatic hydrocarbon group, aromatic heterocyclic group, etc.] are prepared The title compound II (preparation given) at 10-7 M in vitro gave 61.7 % inhibition of serotonin-induced contraction of isolated guinea pig artery.

IT **170631-53-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(29prepn. of benzothiazine derivs. as serotonin 2 antagonists and α1 blockers)

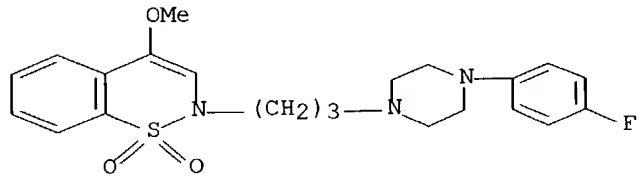
RN 170631-53-5 CAPLUS

CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-4,4-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

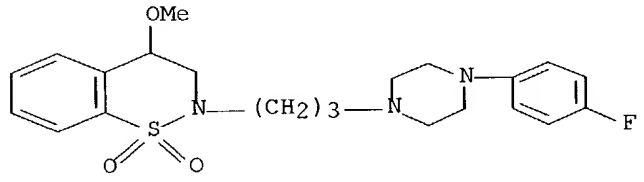


IT **170631-54-6P 170631-55-7P 170631-56-8P**
170631-57-9P 170631-58-0P 170631-59-1P
170631-67-1P 170631-68-2P 170631-69-3P
170631-70-6P 170631-71-7P 170631-72-8P
170631-73-9P 170631-74-0P 170631-75-1P
170631-76-2P 170631-77-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazine derivs. as serotonin 2 antagonists and α1 blockers)

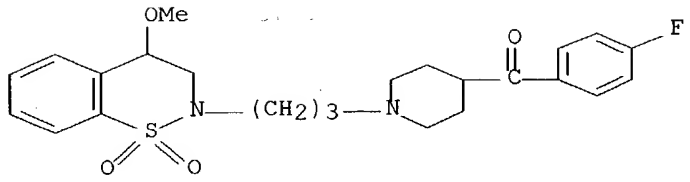
RN 170631-54-6 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-4-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



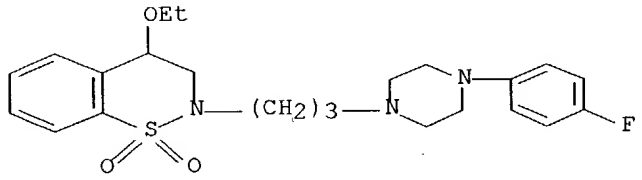
RN 170631-55-7 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-4-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



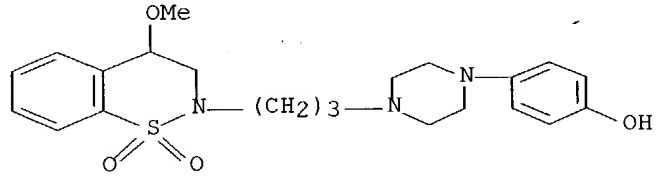
RN 170631-56-8 CAPLUS
CN Methanone, [1-[3-(3,4-dihydro-4-methoxy-1,1-dioxido-2H-1,2-benzothiazin-2-yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



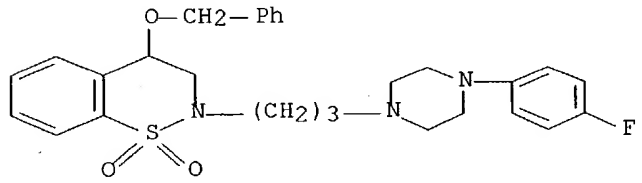
RN 170631-57-9 CAPLUS
CN 2H-1,2-Benzothiazine, 4-ethoxy-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



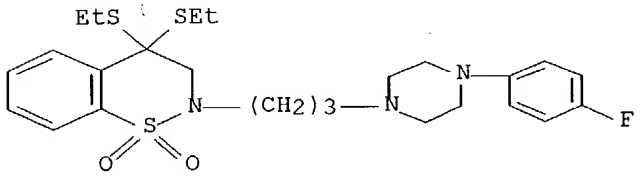
RN 170631-58-0 CAPLUS
CN Phenol, 4-[4-[3-(3,4-dihydro-4-methoxy-1,1-dioxido-2H-1,2-benzothiazin-2-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



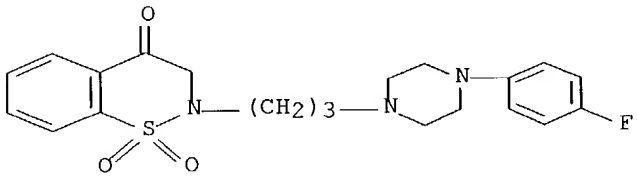
RN 170631-59-1 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-4-(phenylmethoxy)-, 1,1-dioxide (9CI) (CA INDEX NAME)



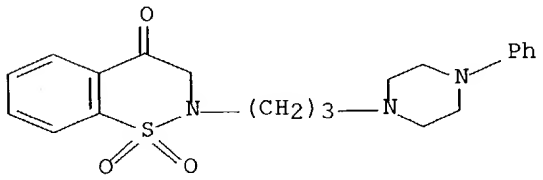
RN 170631-67-1 CAPLUS
CN 2H-1,2-Benzothiazine, 4,4-bis(ethylthio)-2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



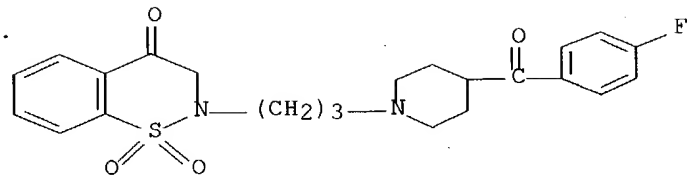
RN 170631-68-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



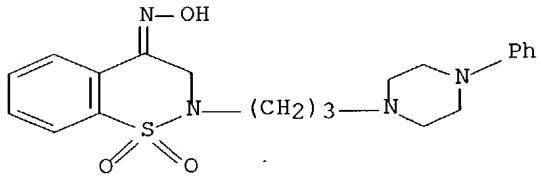
RN 170631-69-3 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenyl-1-piperazinyl)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



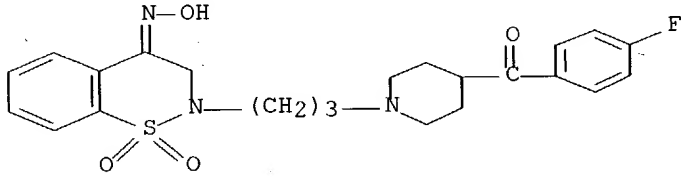
RN 170631-70-6 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



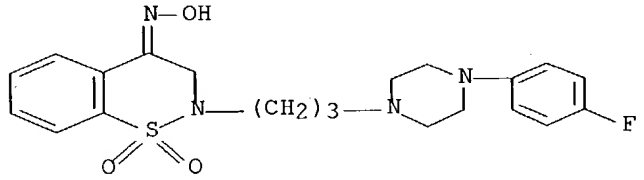
RN 170631-71-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-[3-(4-phenyl-1-piperazinyl)propyl]-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



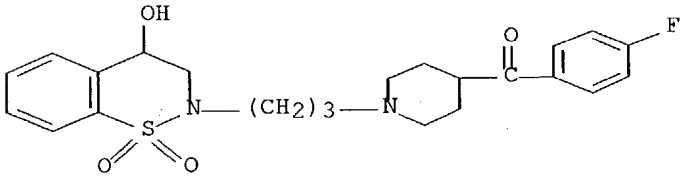
RN 170631-72-8 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-
2,3-dihydro-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



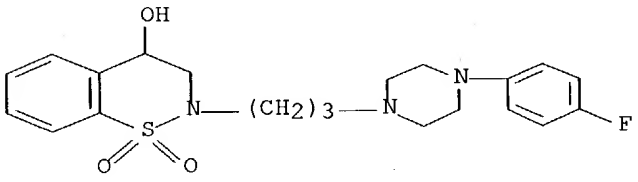
RN 170631-73-9 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
2,3-dihydro-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)



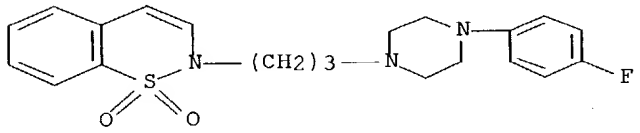
RN 170631-74-0 CAPLUS
CN Methanone, [1-[3-(3,4-dihydro-4-hydroxy-1,1-dioxido-2H-1,2-benzothiazin-2-
yl)propyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



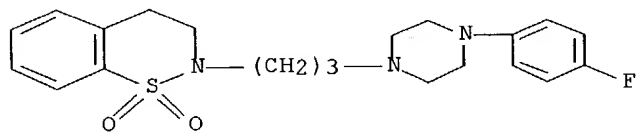
RN 170631-75-1 CAPLUS
CN 2H-1,2-Benzothiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



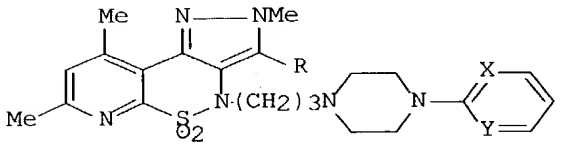
RN 170631-76-2 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-,
1,1-dioxide (9CI) (CA INDEX NAME)



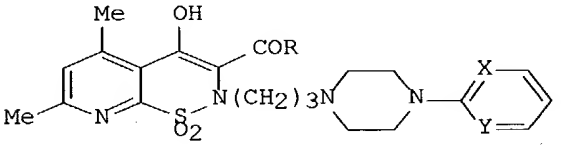
RN 170631-77-3 CAPLUS
CN 2H-1,2-Benzothiazine, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-
3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:418701 CAPLUS Full-text
DN 123:55786
TI Studies on synthesis and biological properties of pyrazolo[4,3-c]pyrido[3,2-e]-1,2-thiazine 5,5-dioxide bearing 4-substituted-1-piperazinylpropyl moiety
AU Malinka, Wieslaw; Sieklucka-Dziuba, Maria; Rajtar-Cynke, Grazyna; Borowicz, Kinga; Kleinrok, Zdzislaw
CS Dep. Drug Chem., Wroclaw Univ. Med., Wroclaw, 50-137, Pol.
SO Farmaco (1994), 49(12), 783-92
CODEN: FRMCE8
PB Societa Chimica Italiana
DT Journal
LA English
GI



I



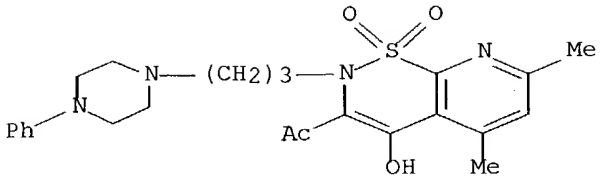
II

AB Pyrazolopyridothiazine 5,5-dioxides (I, R = Me, Ph; X = Y = CH, N; X = N, Y = CH) and pyridothiazine 1,1-dioxides (II, R = Me, Ph; X = Y = CH, N; X = N, Y = CH) bearing 1-piperazinylpropyl substituents were synthesized. The acute toxicity and preliminary results on the CNS activity of I and II are described. A structure-activity relationship is discussed.

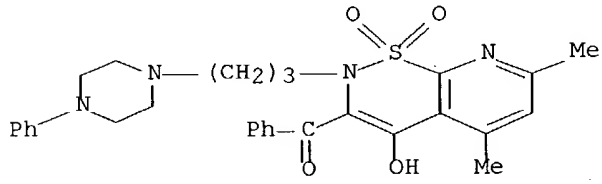
IT **164357-31-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)

RN 164357-31-7 CAPLUS

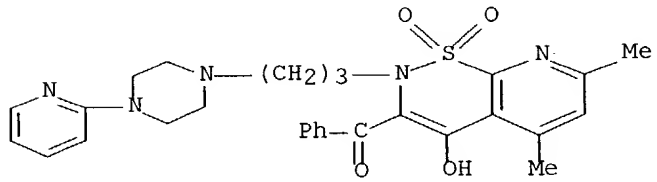
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]- (9CI) (CA INDEX NAME)



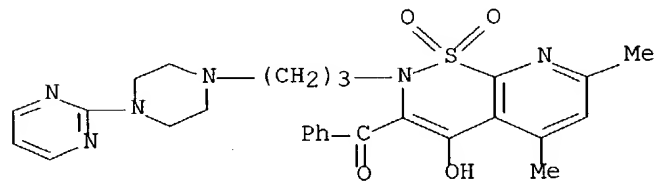
IT **164357-32-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)
RN 164357-32-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-(4-phenyl-1-piperazinyl)propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



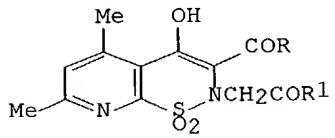
IT **164357-39-5P 164357-40-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and CNS activity of pyrazolopyridothiazine dioxides)
RN 164357-39-5 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



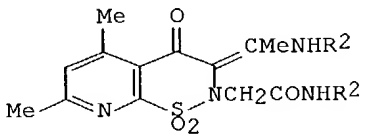
RN 164357-40-8 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-1,1-dioxido-2-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:534056 CAPLUS Full-text
DN 121:134056
TI Synthesis of some amides of 4-hydroxy-5,7-dimethyl-2H-pyrido[3,2-e]-1,2-thiazine-2-acetic acid 1,1-dioxide
AU Malinka, W.; Deren, A.
CS Dep. Chem. Drugs, Sch. Med., Wroclaw, 50-137, Pol.
SO Polish Journal of Chemistry (1992), 66(12), 1953-60
CODEN: PJCHDQ; ISSN: 0137-5083
DT Journal
LA English
GI



I

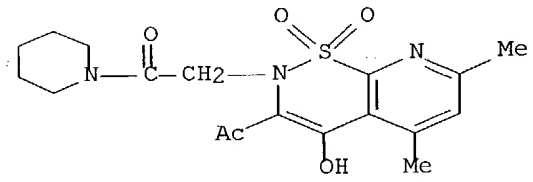


II

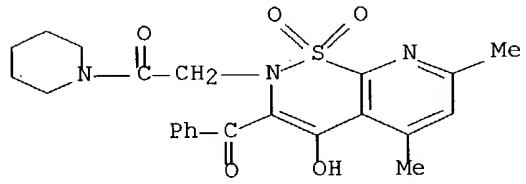
AB 3-Acetyl(benzoyl)-4-hydroxy-5,7-dimethyl-2H-pyrido[3,2-e]-1,2-thiazine-2- acetic acid 1,1-dioxides I (R = Me, Ph; R1 = OH) react on treatment with SOCl2 and alkylamine to yield the title amides I (R = Me, Ph; R1 = cyclohexylamino, piperidino, butylamino, allylamino) with potential antiinflammatory activity. In reaction of acid I (R = Me; R1 = OH) with primary n-alkylamines amido-enamines II (R2 = Bu, allyl, Me) were obtained unexpectedly.

IT **157253-66-2P 157253-70-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

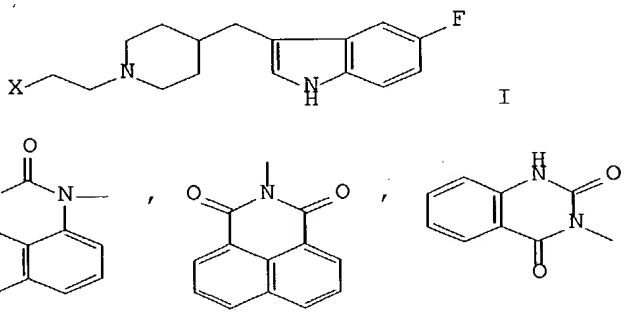
RN 157253-66-2 CAPLUS
CN Piperidine, 1-[(3-acetyl-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 157253-70-8 CAPLUS
CN Piperidine, 1-[(3-benzoyl-4-hydroxy-5,7-dimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:435514 CAPLUS Full-text
DN 121:35514
TI New indole derivatives as potent and selective serotonin uptake inhibitors
AU Mignani, Serge; Damour, Dominique; Doble, Adam; Labaudiniere, Richard; Malleron, Jean Luc; Piot, Odile; Gueremy, Claude
CS Cent. Rech. Vitry-Alfortville, Rhone-Poulenc Rorer S.A., Vitry-sur-Seine, 94403, Fr.
SO Bioorganic & Medicinal Chemistry Letters (1993), 3(10), 1913-18
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI

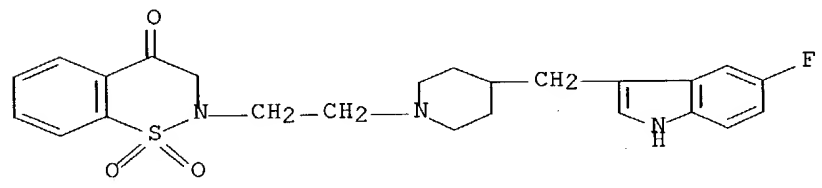


I

AB A new series of serotonin uptake inhibitors is described. Indole derivs., e.g. I, were prepared and exhibit potent and selective activities in a binding assay for the 5-HT uptake site and also behave like strong in vivo serotonin uptake inhibitors.

IT **148287-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin uptake antagonist)

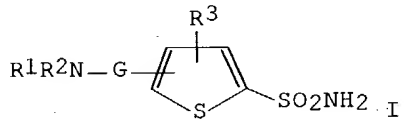
RN 148287-50-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



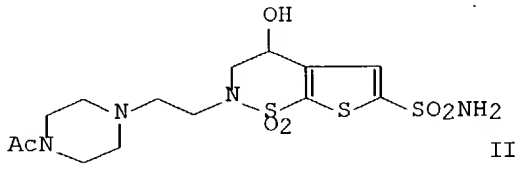
L4 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:245133 CAPLUS Full-text
DN 120:245133
TI Heterocyclic sulfonamides useful as carbonic anhydrase inhibitors for treatment of glaucoma
IN Dean, Thomas R.; Chen, Hwang Hsing; May, Jesse A.
PA Alcon Laboratories, Inc., USA
SO U.S., 30 pp. Cont.-in-part of U.S. 5,153,192.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5240923	A	19930831	US 1991-775313	19911009
	US 5153192	A	19921006	US 1990-618765	19901127
	US 5378703	A	19950103	US 1993-19011	19930218
	US 5679670	A	19971021	US 1994-357623	19941215
	US 5585377	A	19961217	US 1994-362716	19941223
PRAI	US 1990-506780	B2	19900409		
	US 1990-618765	A2	19901127		
	US 1990-506730	B2	19900409		
	US 1991-775313	A2	19911009		
	US 1993-19011	A3	19930218		

OS MARPAT 120:245133
GI



I

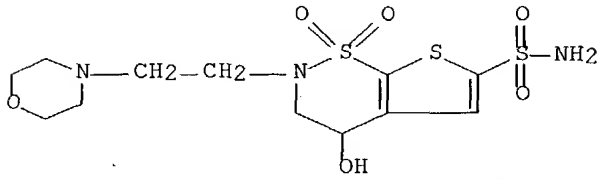


II

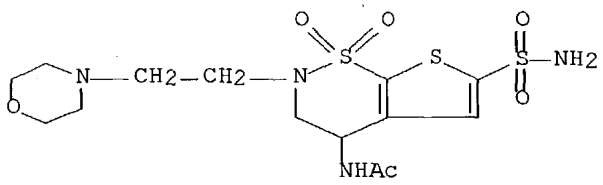
AB Sulfonamides I [R1 = H, (un)substituted alkyl; R2 = H, (un)substituted alkyl, alkenyl, alkynyl, phenylalkyl, heteroarylalkyl, alkoxy, Ph, heteroaryl; or R1R2 may form (un)substituted saturated 5- or 6-membered ring containing O, S, C, or N; both R1 and R2 ≠ H; R3 = H, halo, (un)substituted alkyl, alkoxy, alkylthio; or R1R3 may = C atoms to form (un)substituted 5- to 7-membered ring; G = CO, SO2] were prepared as carbonic anhydrase inhibitors for lowering intraocular pressure (no data). For example, 3,4-dihydro-4-hydroxy-2H-thieno[3,2-e]-1,2-thiazine 1,1-dioxide (preparation given) underwent a sequence of O-protection, lithiation, introduction of a 6-(N-tert-butyl)sulfamoyl group, O-deprotection, N-alkylation of the thiazine nucleus with BrCH2CH2Br, further condensation of the bromoethyl group with 1-acetylpiperazine, and removal of the tert-Bu group, to give title compound II, isolated as the maleate.

IT **138890-54-7P 154127-36-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for carbonic anhydrase inhibitors)

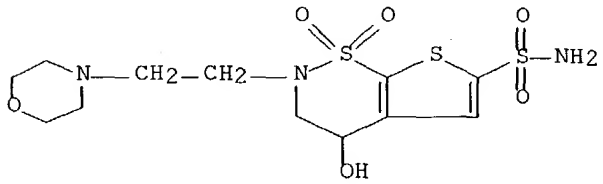
RN 138890-54-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 154127-36-3 CAPLUS
CN Acetamide, N-[6-(aminosulfonyl)-3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-1,1-
dioxido-2H-thieno[3,2-e]-1,2-thiazin-4-yl]- (9CI) (CA INDEX NAME)

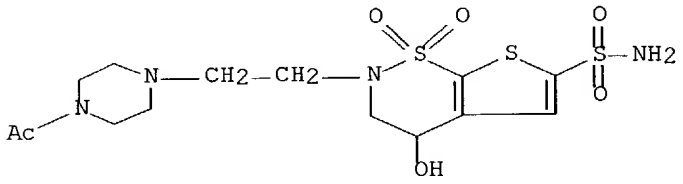


IT **138890-72-9P 154127-10-3P 154127-11-4P**
154127-14-7P 154127-15-8P 154127-16-9P
154127-17-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for lowering intraocular pressure)
RN 138890-72-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

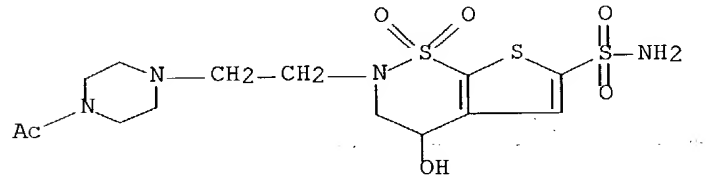
RN 154127-10-3 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-3,4-dihydro-4-hydroxy-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 154127-11-4 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[6-(aminosulfonyl)-3,4-dihydro-4-hydroxy-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]ethyl]-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

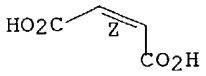
CRN 154127-10-3
CMF C14 H22 N4 O6 S3



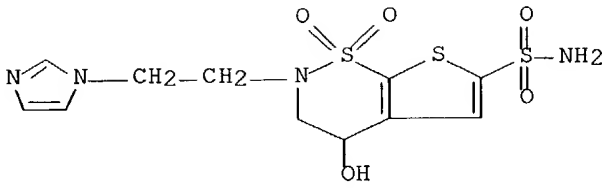
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

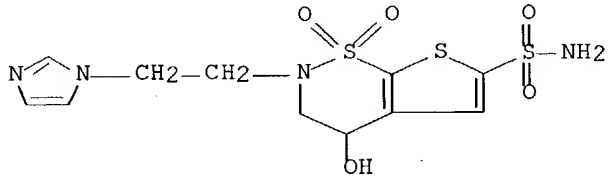


RN 154127-14-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(1H-imidazol-1-yl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

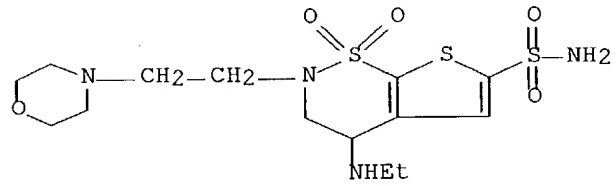


● HCl

RN 154127-15-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(1H-imidazol-1-yl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

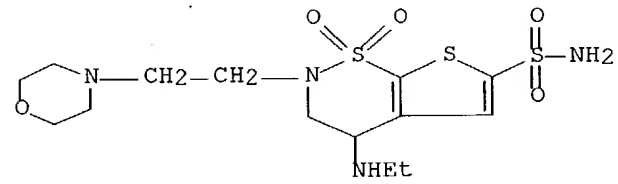


RN 154127-16-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 4-(ethylamino)-3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

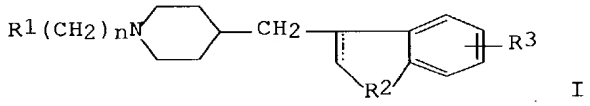
RN 154127-17-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 4-(ethylamino)-3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:472498 CAPLUS Full-text
DN 119:72498
TI Preparation of 1-alkyl-4-(arylmethyl)piperidines and their pharmaceutical formulations as inhibitors of 5-HT reuptake
IN Damour, Dominique; Labaudiniere, Richard; Malleron, Jean Luc; Mignani, Serge
PA Rhone-Poulenc Rorer SA, Fr.
SO Fr. Demande, 43 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2675801	A1	19921030	FR 1991-5048	19910424
PRAI FR 1991-5048		19910424		

OS MARPAT 119:72498
GI

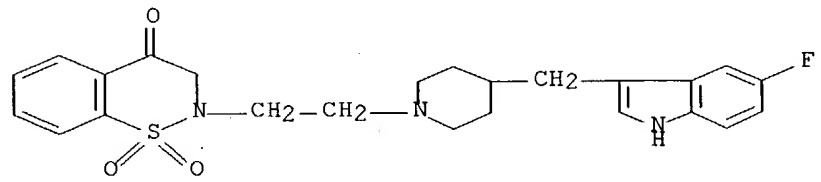


I

AB Title piperidines I [R1 = OH, (un)substituted Ph, heterocyclyl, R4SO2NR5 (R4 = Ph, quinolyl, R5 = H, alkyl), or N(CO2R8)NHCO2R8 (R8 = alkyl); R2 = CH2, CH2CH2, NH, N-alkylimino; R3 = H, halo; R4 = Ph, quinolyl; n = 1-3; partial bond represents single or double C-C bond, where for R2 = NH, it is a double bond, and for R2 = CH2CH2, it a single bond] are prepared by condensation of an appropriate alkyl halide R1(CH2)nX with 4-(arylmethyl)piperidine. The preparation of racemates and enantiomers of compds. I containing at least one chiral center, and their salts with mineral or organic acids, are claimed. Formulations of I for medical use are given (3 examples). The compds. exhibit inhibitory activity of 5-HT recapture.

IT **148287-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inhibitor of 5-HT recapture)

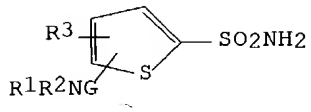
RN 148287-50-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2-[2-[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:433673 CAPLUS Full-text
DN 117:33673
TI Thiophene sulfonamides useful as carbonic anhydrase inhibitors for the treatment of glaucoma
IN Dean, Thomas R.; Chen, Hwang Hsing; May, Jesse A.
PA Alcon Laboratories, Inc., USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9115486	A1	19911017	WO 1991-US2262	19910403
	W: AU, BR, CA, FI, JP, KR, NO				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	US 5153192	A	19921006	US 1990-618765	19901127
	CA 2080223	AA	19911010	CA 1991-2080223	19910403
	AU 9177467	A1	19911030	AU 1991-77467	19910403
	AU 655924	B2	19950119		
	EP 527801	A1	19930224	EP 1991-908317	19910403
	EP 527801	B1	20020731		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	BR 9106330	A	19930420	BR 1991-6330	19910403
	JP 05508832	T2	19931209	JP 1991-508001	19910403
	JP 2562394	B2	19961211		
	AT 221527	E	20020815	AT 1991-908317	19910403
	ES 2180530	T3	20030216	ES 1991-908317	19910403
	ZA 9102580	A	19920129	ZA 1991-2580	19910408
	IL 97800	A1	19970814	IL 1991-97800	19910409
	NO 9203948	A	19921208	NO 1992-3948	19921009
	FI 9603424	A	19960902	FI 1996-3424	19960902
	HK 1014186	A1	20021122	HK 1998-115497	19981224
PRAI	US 1990-506730	A	19900409		
	US 1990-618765	A	19901127		
	WO 1991-US2262	A	19910403		
	FI 1992-4553	A	19921008		

OS MARPAT 117:33673
GI



I

AB The title compds. [I; R1 = H, (un)substituted C1-4 alkyl; R2 = H, (un)substituted C1-8 alkyl, (un)substituted C3-7 alkynyl, Ph, heteroaryl, etc; R3 = H, halo, C1-4 alkyl, C1-8 alkoxy, C1-8 alkylthiol,

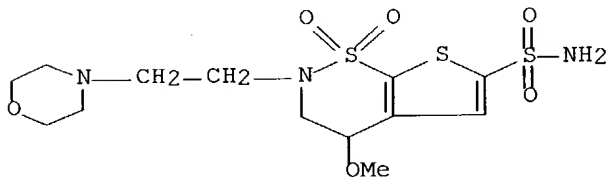
etc; G = CO, SO2] and a pharmaceutically acceptable salt thereof are effective in lowering and controlling intraocular pressure. An ophthalmic suspension contained 3,4-dihydro-4-methoxy-2-methyl-2H-thieno[3,2-e]-1,2-thiazine-6- sulfonamide-1,1-dioxide (preparation given) 3.0, hydroxypropyl Me cellulose 0.5, Na2HPO4 0.2, di-Na edetate 0.01, NaCl 0.8, benzalkonium chloride 0.01, polysorbate-80 0.1, NaOH/HCl q.s. to pH 7.02, and water to 100.00 %.

IT **138890-43-4 138890-54-7**

RL: BIOL (Biological study)
(ophthalmic prepns. containing, for lowering intraocular pressure)

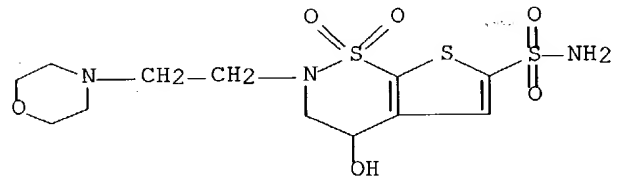
RN 138890-43-4 CAPLUS

CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-methoxy-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 138890-54-7 CAPLUS

CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

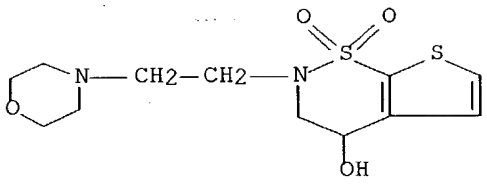


IT **138891-00-6P**

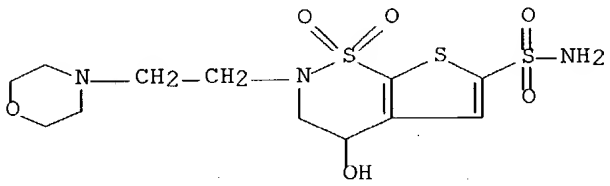
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, in preparation of thiophene sulfonamide for glaucoma treatment)

RN 138891-00-6 CAPLUS

CN 2H-Thieno[3,2-e]-1,2-thiazin-4-ol, 3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



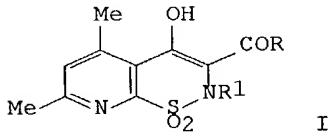
IT **138890-72-9P**
RL: PREP (Preparation)
(preparation of, as intraocular pressure lowering agent)
RN 138890-72-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3,4-dihydro-4-hydroxy-2-[2-(4-
morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:478013 CAPLUS Full-text
DN 111:78013
TI Preparation of 2-substituted derivatives of 2H-3-acyl-4-hydroxy-5,7-dimethylpyrido[3,2-e][1,2]thiazine 1,1-dioxides as analgesics
IN Malinka, Wieslaw; Zawisza, Tadeusz; Wilimowski, Marian
PA Akademia Medyczna Wroclaw, Pol.
SO Pol., 3 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 143077	B2	19880130	PL 1986-257400	19860107
PRAI PL 1986-257400		19860107		

OS CASREACT 111:78013; MARPAT 111:78013
GI

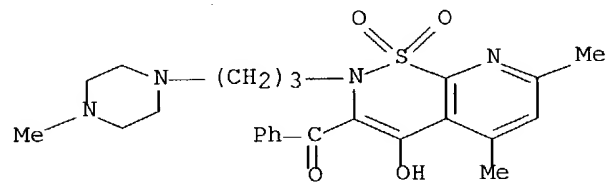


I

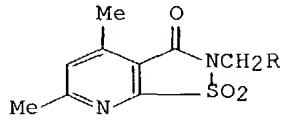
AB Title compds. I (R = Me, Ph; R1 = alkyl, alkylaryl, alkylcarboxy, alkyl ester, alkylamido, alkenyl, alkoxycarbonyl), useful as analgesics (no data), were prepared 2H-3-Acetyl-4-hydroxy-5,7-dimethylpyrido[3,2-e][1,2]thiazine 1,1-dioxide and MeI are added to NaOMe at room temperature followed by acidification with HOAc to give I (R = R1 = Me) in 60% yield.

IT **121879-81-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as analgesic)

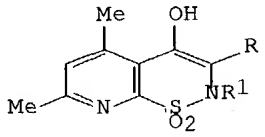
RN 121879-81-0 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:407141 CAPLUS Full-text
DN 107:7141
TI A novel system: 2H-pyrido[3,2-e]-1,2-thiazine-1,1-dioxide. Synthesis and properties of some derivatives
AU Zawisza, T.; Malinka, W.
CS Dep. Chem. Drug, Sch. Med., Wroclaw, Pol.
SO Farmaco, Edizione Scientifica (1986), 41(10), 819-26
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA English
GI



I

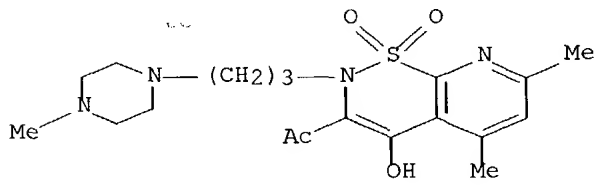


II

AB Reactions of pyridoisothiazoline dioxides I (R = COMe, COPh) with NaOEt produced rearrangement to give pyridothiazine dioxides II (R1 = H). N-Alkylation of II (R = COMe, COPh; R1 = H) gave II (R1 = Me, allyl, CH2Ph,CH2CO2Et,CH2COPh, CO2Me, etc.). Some II showed strong analgesic activity.

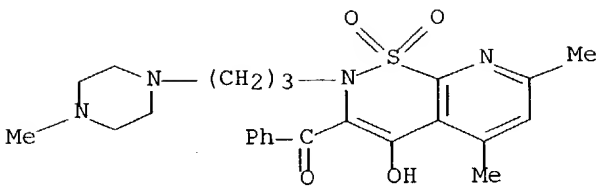
IT **108586-73-8P 108586-78-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)(preparation and analgesic activity of)

RN 108586-73-8 CAPLUS
CN Ethanone, 1-[4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]-, dihydrochloride (9CI)(CA INDEX NAME)



●2 HCl

RN 108586-78-3 CAPLUS
CN Methanone, [4-hydroxy-5,7-dimethyl-2-[3-(4-methyl-1-piperazinyl)propyl]-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl]phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

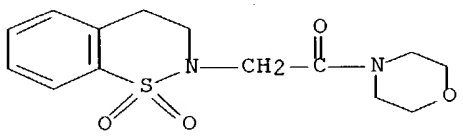
L4 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1974:48016 CAPLUS Full-text
DN 80:48016
TI Therapeutically active dihydrobenzothiazine-s-dioxides
IN Sianesi, Enrico; Da Re, Paulo; Setnikar, Ivo; Massarani, Elena
PA Recordati, S. A. Chemical and Pharmaceutical Co.
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3770733	A	19731106	US 1971-176254	19710830
PRAI US 1971-176254		19710830		

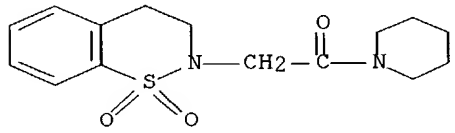
AB Benzothiazinylalkylcarboxamides I (X = CH2, R = H, R1 = H, Me, Et, Pr, CHMe2, Bu, CHMeEt, CMe3, allyl, propargyl, NMe2, NH2, NHEt, NMePh, N:CHMe, NRR1 = NMe2, NEt2, N(CHMe2)2, morpholino, piperidino, pyrrolidino, 4-methylpiperazino; X = CH2CH2, R = H, R1 = CHMe2; X = CMe2, NRR1 = NH2, NHMe, NHCHMe2, NHNMe2) were prepared for use as hypnotics and anticonvulsants. Thus, o-NCCH2C6H4NH2.HCl was diazotized, and treated with SO2 and CuCl to give o-NCCH2C6H4SO2Cl, which on treatment with NH3 gave o-NCCH2C6H4SO2NH2, followed by cyclization to II (R2 = H). Treatment with BrCH2CO2Et gave II (R2 = CH2CO2Et), which with NH3 gave I (X = CH2, R = R1 = H), having an anticonvulsant ED50 in mice of 50 mg/kg ip.

IT **35263-33-3P 35263-34-4P 35263-35-5P**
35263-36-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

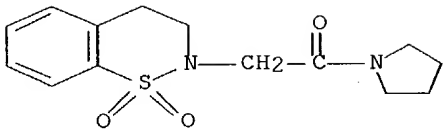
RN 35263-33-3 CAPLUS
CN Morpholine, 4-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-(9CI) (CA INDEX NAME)



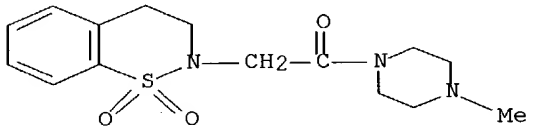
RN 35263-34-4 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-(9CI) (CA INDEX NAME)



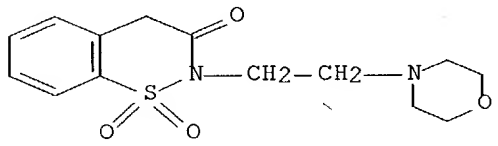
RN 35263-35-5 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-(9CI) (CA INDEX NAME)



RN 35263-36-6 CAPLUS
CN Piperazine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-4-
methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1974:69 CAPLUS Full-text
DN 80:69
TI New benzothiazines. 4. 1H-2,3-Benzothiazin-4(3H)-one 2,2-dioxide and 2H-1,2-benzothiazin-3(4H)-one 1,1-dioxide nitrogen derivatives with central nervous system activity
AU Sianesi, Enrico; Redaelli, Riccardo; Magistretti, Maria J.; Massarani, Elena
CS Res. Div., Recordati S.a.S., Milan, Italy
SO Journal of Medicinal Chemistry (1973), 16(10), 1133-7
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Addnl. data considered in abstracting and indexing are available from a source cited in the original document. Among the 2 series of title compds., the most active hypnotics and anticonvulsants were 3-allyl-1H-2,3-benzothiazin-4(3H)-one 2,2-dioxide (I) [31846-48-7] and 2-allyl-2H-1,2-benzothiazin-3(4H)-one 1,1-dioxide (II) [31848-18-7]. I had a hypnotic ED50 of 250 mg/kg, i.p. and an anticonvulsant ED70 of 100 mg/kg, i.p. in mice; corresponding values for II were 150 and 160 mg/kg. I and II were prepared by direct alkylation of the resp. benzothiazinone dioxides with allyl bromide.
IT **31848-26-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31848-26-7 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

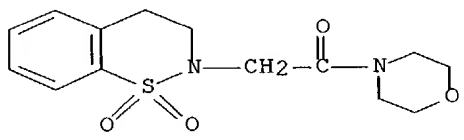


● HCl

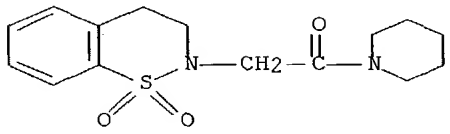
L4 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1972:72535 CAPLUS Full-text
DN 76:72535
TI 3,4-Dihydro-2H-1,2-benzothiazine-2-acetamide S,S-dioxide derivatives
IN Sianesi, Enrico; Da Re, Paolo; Setnikar, Ivo; Massarani, Elena
PA Recordati S. A. Chemical and Pharmaceutical Co.
SO Ger. Offen., 43 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2124953	A	19711216	DE 1971-2124953	19710519
	DE 2124953	B2	19741114		
	DE 2124953	C3	19750703		
	BE 762273	A1	19710701	BE 1971-99171	19710129
	ES 388284	A1	19740216	ES 1971-388284	19710215
	CH 523906	A	19720615	CH 1971-523906	19710219
	CH 527841	A	19720915	CH 1971-527841	19710219
	IL 36248	A1	19730730	IL 1971-36248	19710222
	NL 7102509	A	19711214	NL 1971-2509	19710225
	FR 2094180	A5	19720204	FR 1971-13767	19710419
	FR 2094180	B1	19741018		
	ZA 7103102	A	19720126	ZA 1971-3102	19710512
	GB 1337478	A	19731114	GB 1971-19514	19710608
PRAI	IT 1970-25826		19700611		

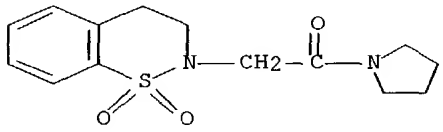
GI For diagram(s), see printed CA Issue.
AB Title compds. (I), sedatives and hypnotics, were prepared by reaction of amines with I (R = OEt or Cl) or by reaction of 3,4-dihydro-2H-1,2-benzothiazine S,S-dioxide (II) with Na alkoxides and ClQCOR. Thus, 7.15 g I (Q = CH2, R = OEt) kept 4 hr with NH3-saturated MeOH at room temperature and briefly refluxed, gave 5.3 g I (Q = CH2, R = NH2). Similarly prepared were 27 addnl. I, e.g. (Q and R given): CHEt, NH2; CH2, NHNH2; CH2, NHPr (III); CMe2, NMe2; CH2, morpholino. Many I were tested in mice, e.g. III had LD50 560 mg/kg on i.p. administration, the hypnotic effect was ED50 = 122 mg/kg and the sedative effect ED50 = 28 mg/kg on oral administration.
IT **35263-33-3P 35263-34-4P 35263-35-5P**
35263-36-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 35263-33-3 CAPLUS
CN Morpholine, 4-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



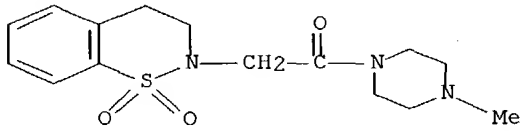
RN 35263-34-4 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



RN 35263-35-5 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-
(9CI) (CA INDEX NAME)



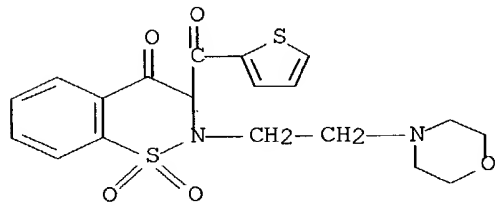
RN 35263-36-6 CAPLUS
CN Piperazine, 1-[(3,4-dihydro-1,1-dioxido-2H-1,2-benzothiazin-2-yl)acetyl]-4-
methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1971:476815 CAPLUS Full-text
DN 75:76815
TI 1,2-Benzothiazine compounds
IN Hasegawa, Gen; Munakata, Tomohiko; Furuta, Tetsuya; Tsuda, Tachimi
PA Yoshitomi Pharmaceutical Industries, Ltd.
SO Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 46022027	B4	19710622	JP	19690118

GI For diagram(s), see printed CA Issue.
AB I (X = Cl, Br, OMe, Me, H; Y = aminoalkyl; Z = O, S), useful as diuretics, antiinflammatants, antibacterials, etc., are manufactured 3-(2-Thienylcarbonyl)- 3,4-dihydro-2H - 1,2 - benzothiazin - 4 - one 1,1-dioxide, in a mixture of NaOH, EtOH, and H2O, is treated with 2-morpholinoethyl chloride to give I (X = H, Y = morpholinoethyl, Z = S); hydrochloride m. 235-7°. Similarly prepared are 10 more I.
IT **33215-46-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33215-46-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 2,3-dihydro-2-(2-morpholinoethyl)-3-(2-thenoyl)-
, 1,1-dioxide, monohydrochloride (8CI) (CA INDEX NAME)

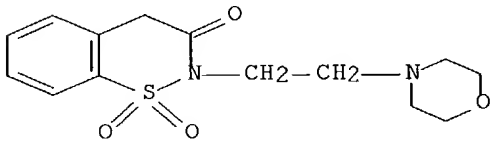


● HCl

L4 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1971:141829 CAPLUS Full-text
DN 74:141829
TI Antispasmodic and narcotic oxodihydrobenzothiazine S-dioxides
IN Sianesi, Enrico; Setnikar, Ivo; Massarani, Elena; Da Re, Paolo
PA Recordati S. A. Chemical and Pharmaceutical Co.
SO Ger. Offen., 74 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2022694	A	19701112	DE 1970-2022694	19700508
	DE 2022694	B2	19741031		
	DE 2022694	C3	19750619		
	ES 378815	A1	19730201	ES 1970-378815	19700420
	BE 749672	A	19701001	BE 1970-749672	19700428
	NL 7006352	A	19701111	NL 1970-6352	19700429
	ZA 7003127	A	19710127	ZA 1970-3127	19700508
	FR 2051511	A1	19710409	FR 1970-16831	19700508
	FR 2051511	A5	19710409		
	CH 509340	A	19710630	CH 1970-509340	19700508
	CH 511249	A	19710815	CH 1970-511249	19700508
	CH 515266	A	19711115	CH 1970-515266	19700508
	AT 299222	B	19720612	AT 1970-4177	19700508
	GB 1308022	A	19730228	GB 1970-22395	19700508
	SE 373585	B	19750210	SE 1970-6339	19700508
PRAI	IT 1969-16635		19690509		

GI For diagram(s), see printed CA Issue.
AB The 3,4-dihydro-3-oxo-2H'-1, 2-benzothiazine S,S-dioxides (I) and 3,4-dihydro-4-oxo-1H-2,3-benzothiazine S,S-dioxides (II), where R = alkyl, CH2CH:CH2, CH2CONR1R2, are prepared by cyclization of an o-sulfamoylphenylacetic acid or an o-carboxybenzylsulfonamide in the presence of a dehydrating agent. Thus, o-NCCH2C6H4-SO2Cl, m. 109-11°, stirred in C6H6 30 min with introduction of NH3 at 0° gave o-CNCH2C6H4SO2NH2, m. 158-60°, refluxed 3 hr in N NaOH and acidified to give o-H2NSO2C6H4CH2CO2H (III), m. 175-80°. III heated 1 hr at 100° with polyphosphoric acid yielded I (R = H), m. 198-201°. Similarly were several I and II prepared
IT **31848-26-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31848-26-7 CAPLUS
CN 2H-1,2-Benzothiazin-3(4H)-one, 2-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

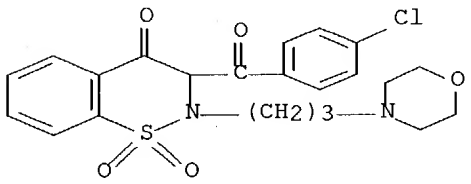


● HCl

L4 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1971:141828 CAPLUS Full-text
DN 74:141828
TI 1,2-Benzothiazines
IN Hasegawa, Gen; Munakata, Tomohiko; Yoshida, Tetsuya; Tsumagari, Tatsumi
PA Yoshitomi Pharmaceutical Industries, Ltd.
SO Jpn. Tokkyo Koho, 5 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

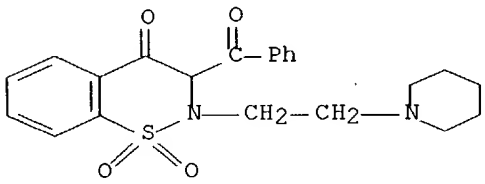
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 46000029	B4	19710105	JP	19680318

GI For diagram(s), see printed CA Issue.
AB 3-Benzoyl-3,4-dihydro-2H-1,2-benzothiazin-4-one 1,1-dioxide (5 g) in 19 ml N NaOH, 13 ml H2O, and 63 ml EtOH was stirred overnight with prperidinoethyl chloride (from 3.7 g HCl salt) to give 3.5 g I (R = Ph, X = CH2CH2, NY2 = piperidino), m. 215-18°. Similarly, I were prepared (R, X, Y, or NY2, and m.p. given): Me, (CH2)3, Pr, 173-5°; p-ClC6H4, (CH2)3, morpholino, 210-12° (HCl salt); Ph, CH2CHMeCH2, 4-phenyl-1-piperazino, 218-21° (HCl salt). Also prepared were 7-Cl, 6-MeO, and other analogs, in which R was Me3C, 3,4-ClC6H3, p-anislyl, p-tolyl, cyclohexyl, or similar residues.
IT **31848-42-7P 31858-76-1P 32650-75-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31848-42-7 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-(p-chlorobenzoyl)-2,3-dihydro-2-(3-morpholinopropyl)-, 1,1-dioxide, hydrochloride (8CI) (CA INDEX NAME)

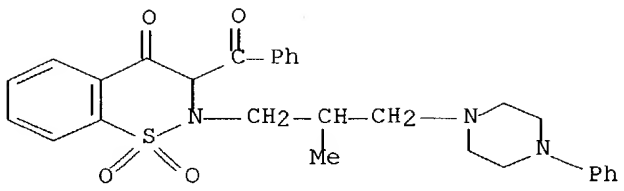


●x HCl

RN 31858-76-1 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-benzoyl-2,3-dihydro-2-(2-piperidinoethyl)-, 1,1-dioxide (8CI) (CA INDEX NAME)

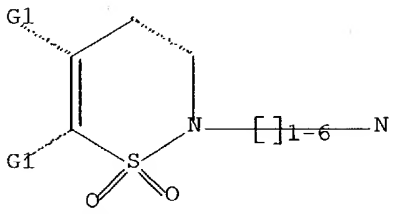


RN 32650-75-2 CAPLUS
CN 4H-1,2-Benzothiazin-4-one, 3-benzoyl-2,3-dihydro-2-[2-methyl-3-(4-phenyl-1-piperazinyl)propyl]-, 1,1-dioxide, hydrochloride (8CI) (CA INDEX NAME)



●x HCl

```
=> d l1; d his
L1 HAS NO ANSWERS
L1                STR
```



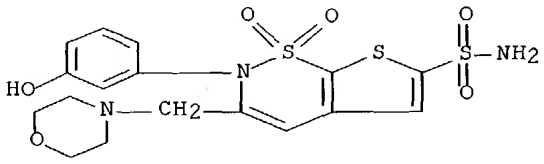

```
G1 C,O,S,N
```

Structure attributes must be viewed using STN Express query preparation.

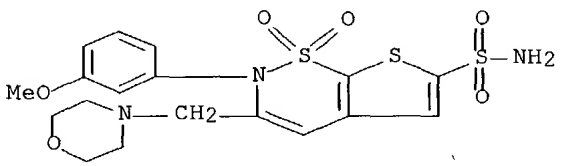
```
     (FILE 'REGISTRY' ENTERED AT 19:20:29 ON 06 OCT 2004)
                DEL HIS
L1              STRUCTURE UPLOADED
L2            5 S L1
L3          128 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 19:28:57 ON 06 OCT 2004
L4           26 S L3

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   124.64     831.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -18.20     -18.90

STN INTERNATIONAL LOGOFF AT 19:29:52 ON 06 OCT 2004
```

sent claim 2, 6, 10, 14, 40, 44

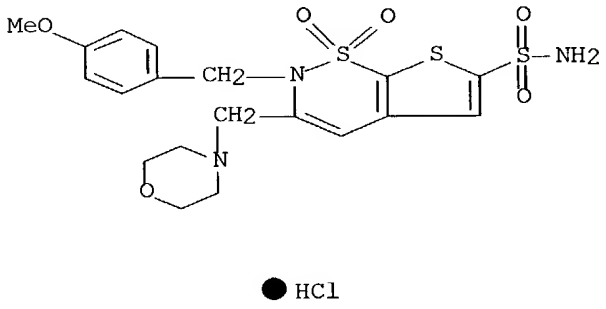
L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:42900 CAPLUS Full-text
DN 136:241079
TI Structural Aspects of Isozyme Selectivity in the Binding of Inhibitors to Carbonic Anhydrases II and IV
AU Kim, Chu-Young; Whittington, Douglas A.; Chang, Jeanne S.; Liao, John; May, Jesse A.; Christianson, David W.
CS Roy and Diana Vagelos Laboratories, Department of Chemistry, University of Pennsylvania, Philadelphia, PA, 19104-6323, USA
SO Journal of Medicinal Chemistry (2002), 45(4), 888-893
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Carbonic anhydrase inhibitors are effective in lowering intraocular pressure, the primary indication of glaucoma. Human carbonic anhydrase II, and possibly carbonic anhydrase IV (CAII and CAIV, resp.), help regulate fluid secretion into the anterior chamber of the eye. Because inhibitors currently formulated as drugs to treat glaucoma were designed to target CAII, an understanding of the structural basis of CAII-CAIV discrimination by inhibitors would be useful for probing the role of each isoenzyme in the etiol. of the disease. Here, we report the x-ray crystal structures of three novel thieno[3,2-e]-1,2-thiazine-6-sulfonamides complexed with CAII and the computationally predicted structures of the same compds. complexed with CAIV. All three compds. bind with similar affinity to CAII, but they bind with up to 100-fold lower affinities to CAIV. Comparisons of exptl. determined structures of CAII-inhibitor complexes and computationally predicted structures of CAIV-inhibitor complexes allow us to rationalize these affinity trends and outline mol. features that may contribute to high-affinity inhibitor binding to CAIV. This study demonstrates how exptl. structure determination methods and computational structure prediction methods can be used together to answer questions that cannot be answered by either method alone.
IT **171273-12-4**, AL 6619 **404034-54-4**, AL 6629
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)(structural aspects of isoenzyme selectivity in the binding of inhibitors to carbonic anhydrases II and IV)
RN 171273-12-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-hydroxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 404034-54-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



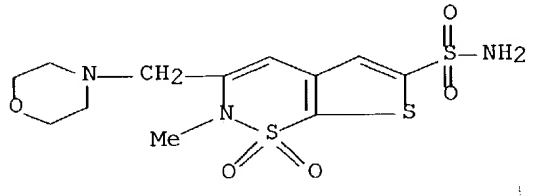
L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:395926 CAPLUS Full-text
DN 133:129514
TI 2H-Thieno[3,2-e]- and [2,3-e]-1,2-thiazine-6-sulfonamide 1,1-dioxides as ocular hypotensive agents: synthesis, carbonic anhydrase inhibition and evaluation in the rabbit
AU Chen, H.-H.; Gross, S.; Liao, J.; McLaughlin, M.; Dean, T.; Sly, W. S.; May, J. A.
CS Ophthalmic Products Research, Alcon Research, Ltd., Fort Worth, TX, 76134, USA
SO Bioorganic & Medicinal Chemistry (2000), 8(5), 957-975
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB Novel non-chiral 2H-thieno[3,2-e]- and [2,3-e]-1,2-thiazine-6-sulfonamide 1,1-dioxides were synthesized for evaluation as potential candidates for the treatment of glaucoma. All of the compds. prepared were potent high affinity inhibitors of human carbonic anhydrase II, Ki<0.5 nM. Addnl., inhibition of recombinant human carbonic anhydrase IV was determined for selected compds.; these were shown to be moderate to potent inhibitors of this isoenzyme with IC50 values ranging from 4.25 to 73.6 nM. Of the compds. evaluated for their ability to lower intraocular pressure in naturally hypertensive Dutch-belted rabbits, several showed significant efficacy (>20% decrease) in this model following topical ocular administration.
IT **171272-89-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents:
synthesis and carbonic anhydrase inhibition)
RN 171272-89-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

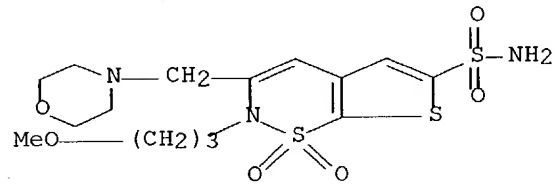
IT **171272-71-2P 171272-78-9P 171272-80-3P**
171272-82-5P 171272-83-6P 171272-84-7P
171272-91-6P 171273-12-4P 171273-18-0P
171273-96-4P 286958-28-9P 286958-30-3P
286958-32-5P 286958-33-6P 286958-34-7P
286958-35-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents:
synthesis and carbonic anhydrase inhibition)

RN 171272-71-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



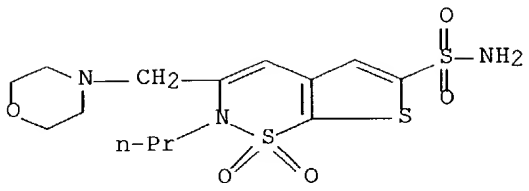
● HCl

RN 171272-78-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



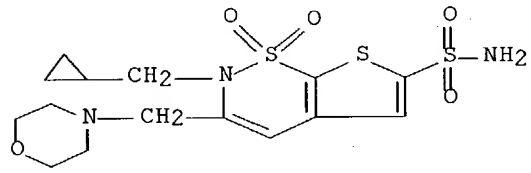
● HCl

RN 171272-80-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-propyl-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



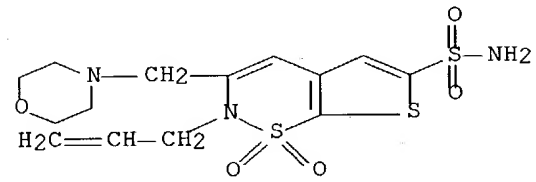
● HCl

RN 171272-82-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(cyclopropylmethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

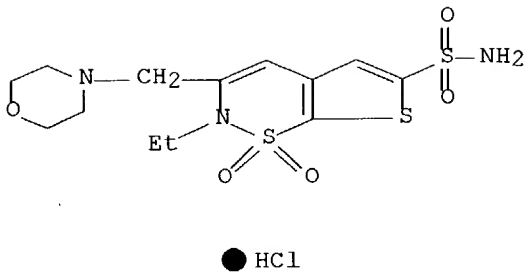


● HCl

RN 171272-83-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-(2-propenyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

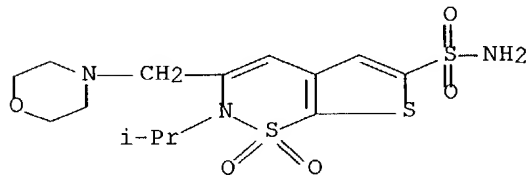


RN 171272-84-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-ethyl-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

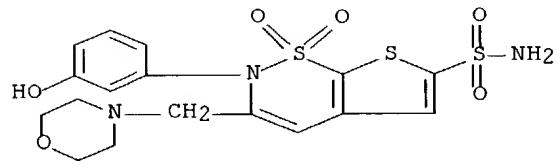


● HCl

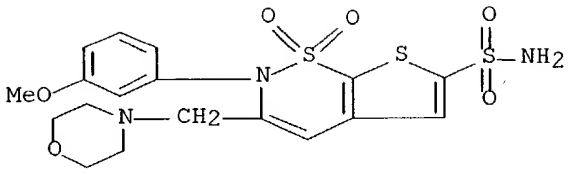
RN 171272-91-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(1-methylethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-12-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-hydroxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

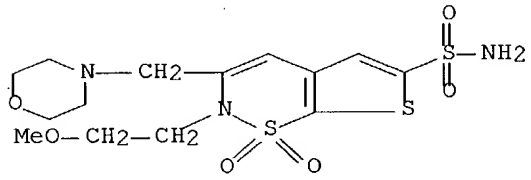


RN 171273-18-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

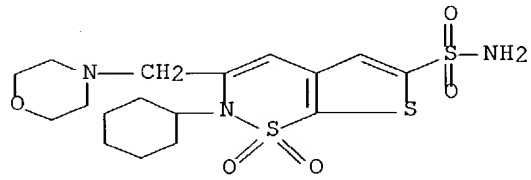


● HCl

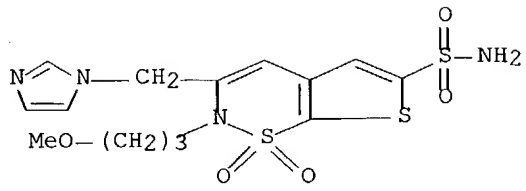
RN 171273-96-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(2-methoxyethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 286958-28-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-cyclohexyl-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

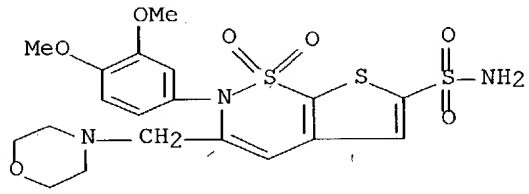


RN 286958-30-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(1H-imidazol-1-ylmethyl)-2-
(3-methoxypropyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



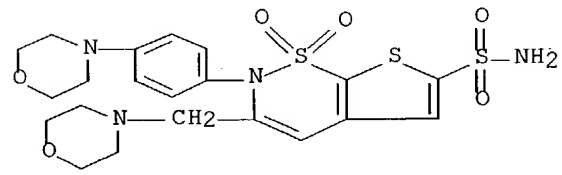
● HCl

RN 286958-32-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3,4-dimethoxyphenyl)-3-(4-
morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

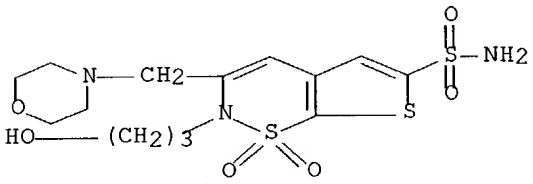
RN 286958-33-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-[4-
(4-morpholinyl)phenyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



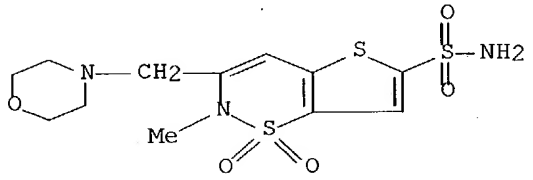
● HCl

RN 286958-34-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-hydroxypropyl)-3-(4-

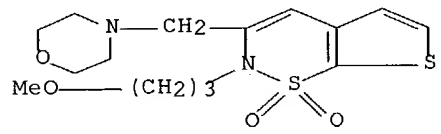
morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



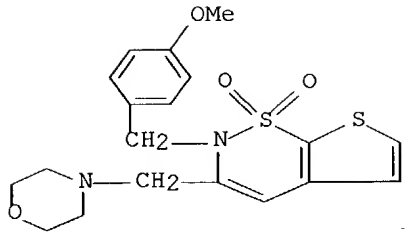
RN 286958-35-8 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-6-sulfonamide, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



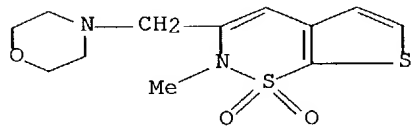
IT **171273-60-2P 171273-67-9P 286958-84-7P**
286958-88-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(thieno and thiazine sulfonamide dioxides as ocular hypotensive agents:
synthesis and carbonic anhydrase inhibition)
RN 171273-60-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-
, 1,1-dioxide (9CI) (CA INDEX NAME)



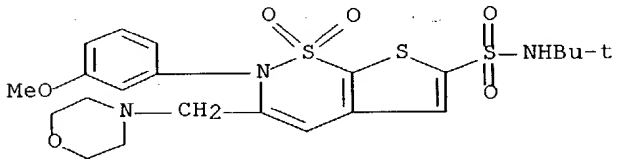
RN 171273-67-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 286958-84-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

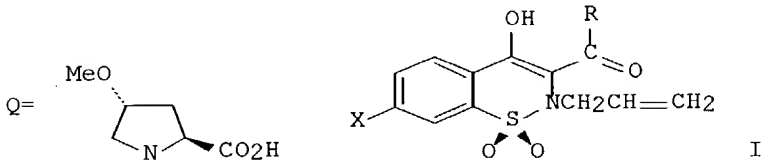


RN 286958-88-1 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, N-(1,1-dimethylethyl)-2-(3-methoxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:74309 CAPLUS Full-text
DN 128:114933
TI Synthesis of antiinflammatory novel 3-pyrrolidinylcarbonyl-1,2-benzothiazine derivatives
AU Park, Myung-Sook
CS Coll. Pharm., Duksung Women's Univ., Seoul, 132-714, S. Korea
SO Yakhak Hoechi (1997), 41(6), 724-729
CODEN: YAHOA3; ISSN: 0513-4234
PB Pharmaceutical Society of Korea
DT Journal
LA Korean
OS CASREACT 128:114933
GI



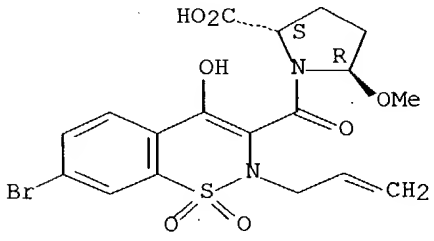
I

AB New 7-Halo-4-hydroxy-2-allyl-3-(4-methoxy-2-carboxy-1-pyrrolidinyl)carbonyl-2H-1,2-benzothiazine 1,1-dioxide derivs. (I; R = Q; X = Br, Cl) were synthesized through the condensation of 7-halo-4-hydroxy-2-allyl-1,2-benzothiazine-3-carboxylic acid Me ester 1,1-dioxide I (R = OMe; X = same as above) with γ-methoxy L-proline (Q-OH).

IT **201421-93-4P 201421-94-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiinflammatory (pyrrolidinylcarbonyl)benzothiazine derivs.
by condensation of Me halohydroxyallylbenzothiazinecarboxylate 1,1-dioxide with γ-methoxy L-proline)

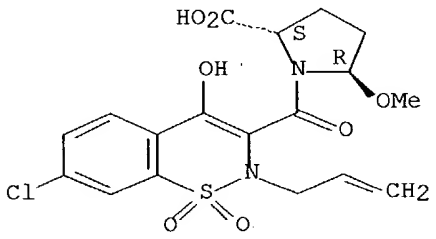
RN 201421-93-4 CAPLUS
CN L-Proline, 1-[[7-bromo-4-hydroxy-1,1-dioxido-2-(2-propenyl)-2H-1,2-benzothiazin-3-yl]carbonyl]-5-methoxy-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201421-94-5 CAPLUS
CN L-Proline, 1-[[7-chloro-4-hydroxy-1,1-dioxido-2-(2-propenyl)-2H-1,2-benzothiazin-3-yl]carbonyl]-5-methoxy-, (5R)- (9CI) (CA INDEX NAME)

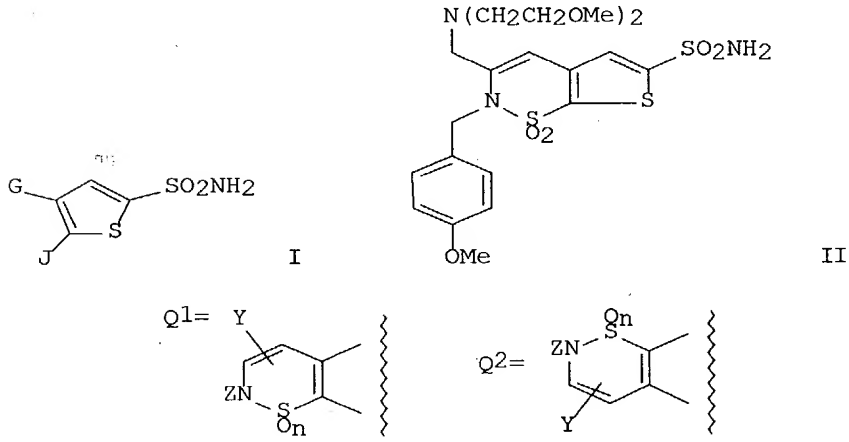
Absolute stereochemistry.



L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:486144 CAPLUS Full-text
DN 125:167999
TI Preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors.
IN May, Jesse A.; Chen, Hwang-hsing; Dupr, E. Brian; Dean, Thomas R.
PA Alcon Laboratories, Inc., USA
SO U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 184,430,abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5538966	A	19960723	US 1995-374470	19950120
	WO 9622099	A1	19960725	WO 1995-US9144	19950720
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9531370	A1	19960807	AU 1995-31370	19950720
PRAI	US 1994-184430		19940121		
	US 1995-374470		19950120		
	WO 1995-US9144		19950720		

OS MARPAT 125:167999
GI

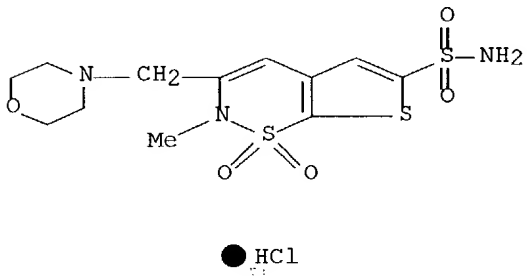


AB Title compds. [I; G, J and the C atoms they are connected to = Q1, Q2; Y = H, (substituted) alkyl, alkenyl, alkynyl; Z = carboxymethyl, cyanomethyl, aminocarbonylmethyl, (substituted) alkyl, alkenyl, alkynyl, Ph, etc.; n = 0-2], were prepared for treatment of glaucoma (no data). Thus, N-[[3-(1,3-dioxolan-2-yl)-2-thienyl]sulfonyl]-N-(4-methoxyphenylmethyl)glycine Et ester (preparation given) was refluxed 3 h with p-toluenesulfonic acid in acetone to give Et 2-(4-methoxyphenylmethyl)-2H- thieno[3,2-e]-1,2-thiazine-3-carboxylate 1,1-

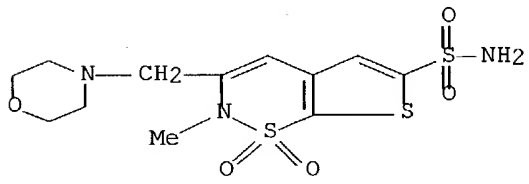
dioxide, which was converted to title compound (II) in several steps. I drug formulations are given.

IT **171272-71-2P 171272-72-3P 171272-78-9P**
171272-79-0P 171272-80-3P 171272-81-4P
171272-82-5P 171272-83-6P 171272-84-7P
171272-89-2P 171272-90-5P 171273-04-4P
171273-05-5P 171273-06-6P 171273-07-7P
171273-08-8P 171273-10-2P 171273-11-3P
171273-12-4P 171273-21-5P 180527-19-9P
180527-22-4P 180527-23-5P 180527-24-6P
180527-57-5P 180527-58-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors)

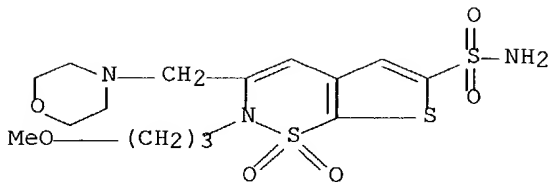
RN 171272-71-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



RN 171272-72-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

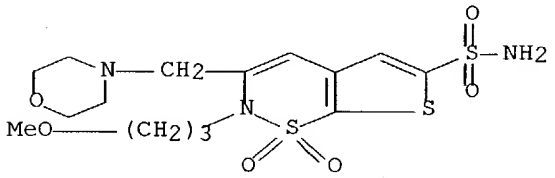


RN 171272-78-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

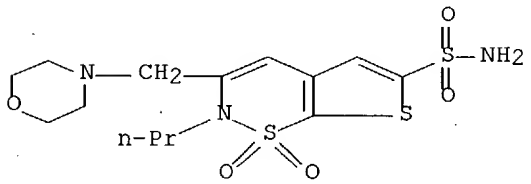


● HCl

RN 171272-79-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

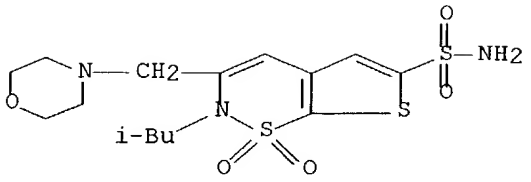


RN 171272-80-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-propyl-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

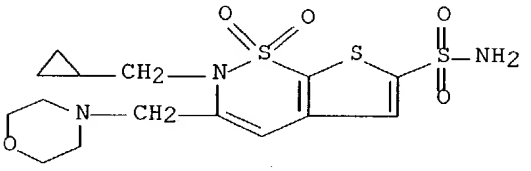


● HCl

RN 171272-81-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(2-methylpropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

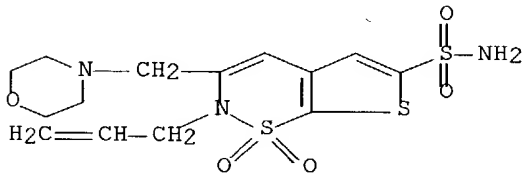


RN 171272-82-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(cyclopropylmethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

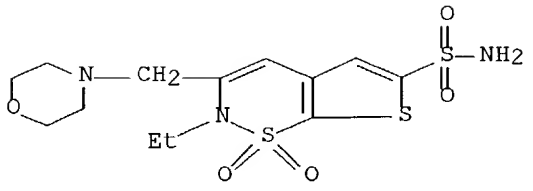


● HCl

RN 171272-83-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-(2-propenyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

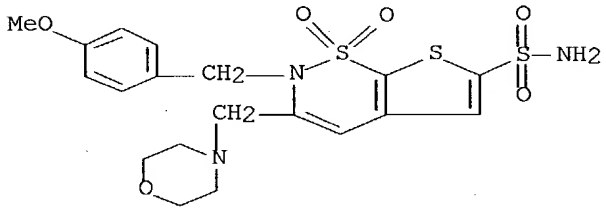


RN 171272-84-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-ethyl-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



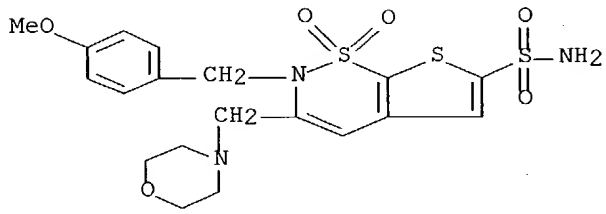
● HCl

RN 171272-89-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

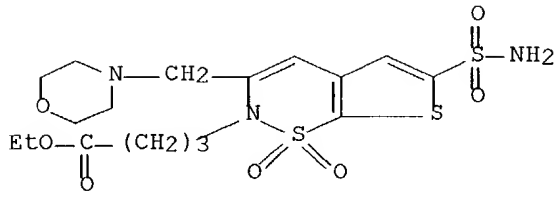


● HCl

RN 171272-90-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

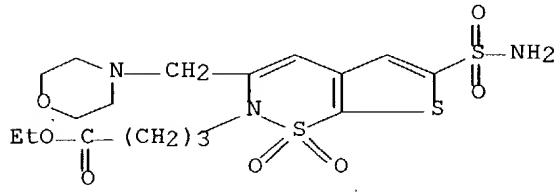


RN 171273-04-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-2-butanoic acid, 6-(aminosulfonyl)-3-(4-morpholinylmethyl)-, ethyl ester, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

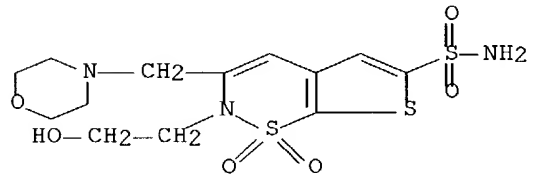


● HCl

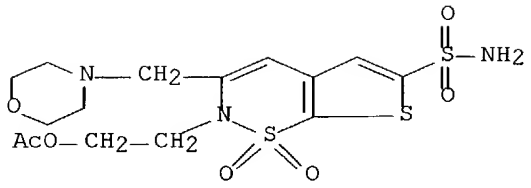
RN 171273-05-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-2-butanoic acid, 6-(aminosulfonyl)-3-(4-morpholinylmethyl)-, ethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-06-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(2-hydroxyethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

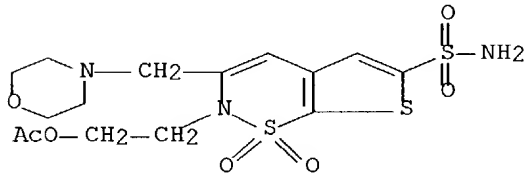


RN 171273-07-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(acetyloxy)ethyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

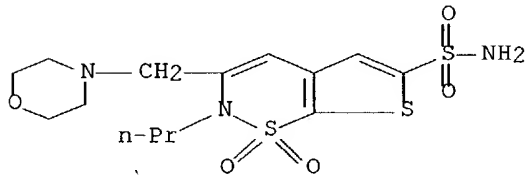


● HCl

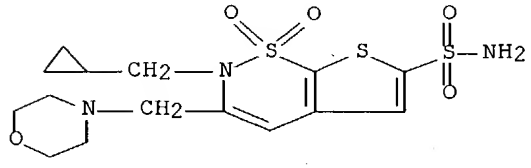
RN 171273-08-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(acetyloxy)ethyl]-3-(4-
morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



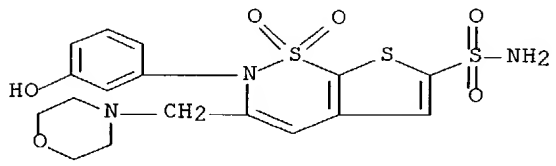
RN 171273-10-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-propyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



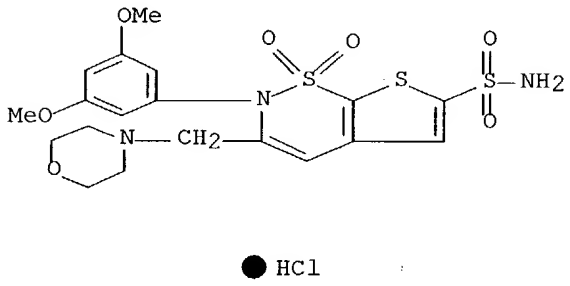
RN 171273-11-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(cyclopropylmethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



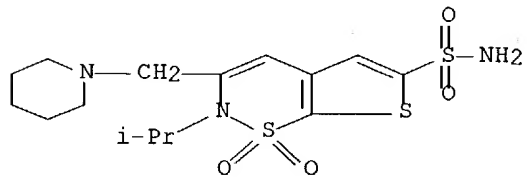
RN 171273-12-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-hydroxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-21-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3,5-dimethoxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

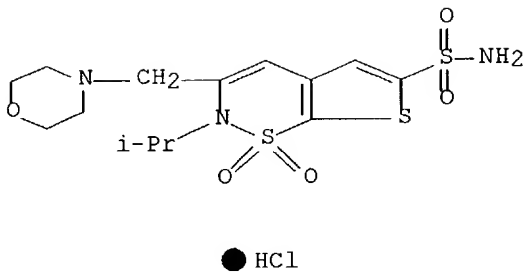


RN 180527-19-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(1-methylethyl)-3-(1-piperidinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



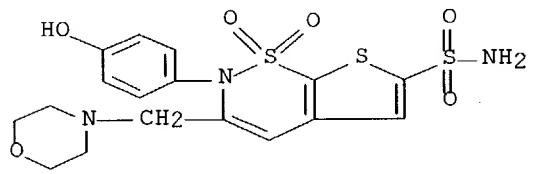
RN 180527-22-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(1-methylethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX

NAME)



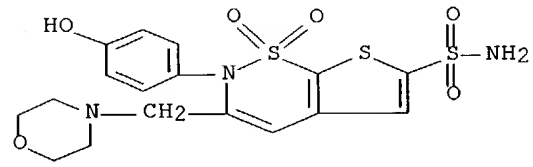
● HCl

RN 180527-23-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(4-hydroxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

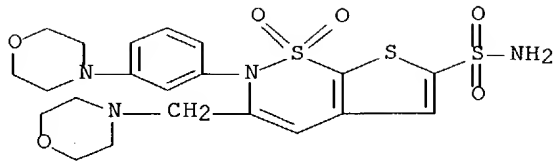


● HCl

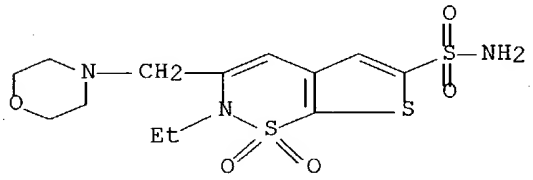
RN 180527-24-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(4-hydroxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



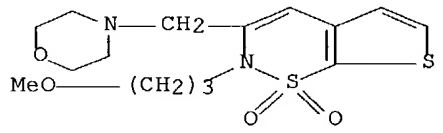
RN 180527-57-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-[3-(4-morpholinyl)phenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



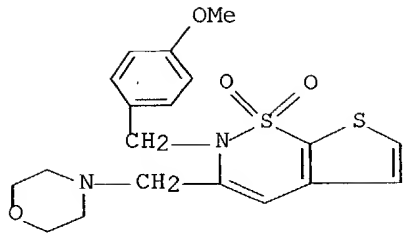
RN 180527-58-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-ethyl-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



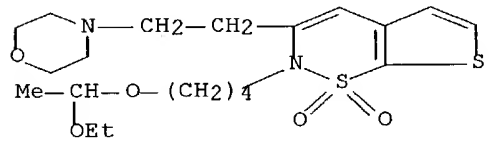
IT **171273-60-2P 171273-67-9P 180527-47-3P 180527-49-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors)
RN 171273-60-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-
, 1,1-dioxide (9CI) (CA INDEX NAME)



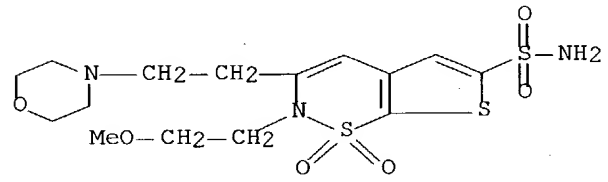
RN 171273-67-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 180527-47-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-[4-(1-ethoxyethoxy)butyl]-3-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



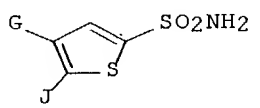
RN 180527-49-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(2-methoxyethyl)-3-[2-(4-morpholinyl)ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



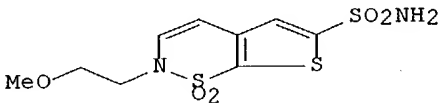
L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:975365 CAPLUS Full-text
DN 124:8833
TI Preparation and formulation of thienothiazinesulfonamides as carbonic anhydrase inhibitors
IN May, Jesse Albert; Chen, Hwang-Hsing; Dupre, Brian; Dean, Thomas R.
PA Alcon Laboratories, Inc., USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9519981	A1	19950727	WO 1995-US775	19950120
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9516848	A1	19950808	AU 1995-16848	19950120
PRAI	US 1994-184430		19940121		
	WO 1995-US775		19950120		

OS MARPAT 124:8833
GI



I

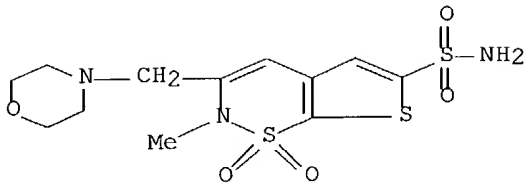


II

AB Title compds. [I; GJ = (un)substituted CH:CHNRSOn, -SOnNRCH:CH; R = (un)substituted alk(en)yl, CH2CO2H, alkoxycarbonylmethyl, CH2CONH2, heteroaryl, etc.; n = 0-2] were prepared as carbonic anhydrase inhibitors (no data). Thus, 3-acetyl-2-thiophenesulfonamide (preparation given) was brominated and the product cyclized to give 3,4-dihydro-2H-thieno[3,2-e]- 1,2-thiazin-4-ol 1,1-dioxide which was converted in 7 steps to title compound II.

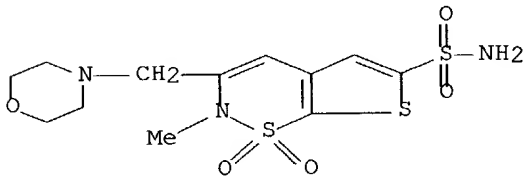
IT **171272-71-2P 171272-72-3P 171272-78-9P**
171272-79-0P 171272-80-3P 171272-81-4P
171272-82-5P 171272-83-6P 171272-84-7P
171272-89-2P 171272-90-5P 171272-91-6P
171273-04-4P 171273-05-5P 171273-06-6P
171273-07-7P 171273-08-8P 171273-09-9P
171273-10-2P 171273-11-3P 171273-12-4P
171273-13-5P 171273-18-0P 171273-21-5P
171273-22-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors)

RN 171272-71-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

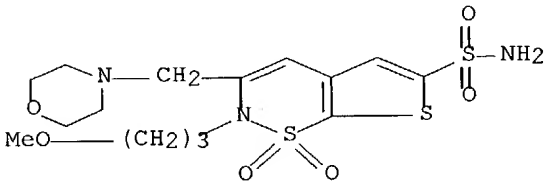


● HCl

RN 171272-72-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-methyl-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

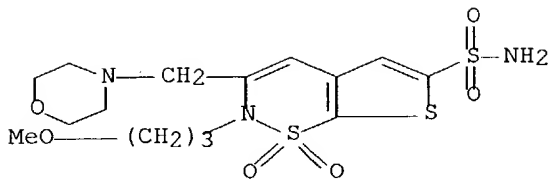


RN 171272-78-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

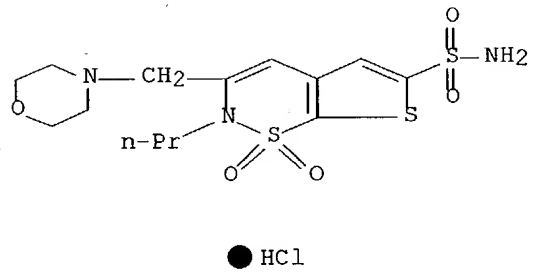


● HCl

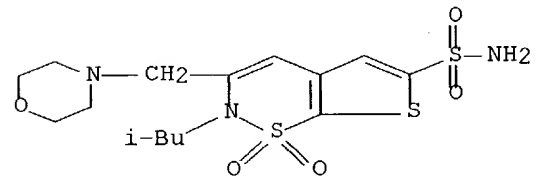
RN 171272-79-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



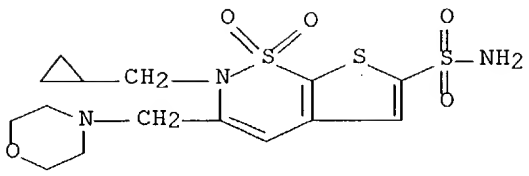
RN 171272-80-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-propyl-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



RN 171272-81-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(2-methylpropyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

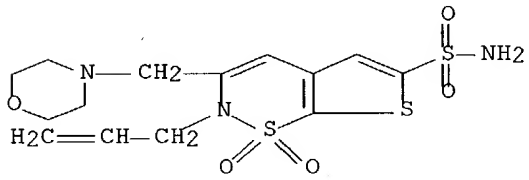


RN 171272-82-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(cyclopropylmethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

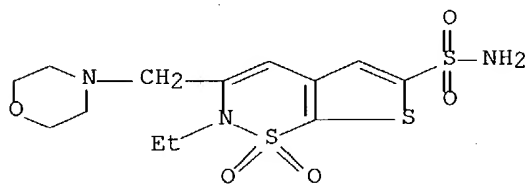


● HCl

RN 171272-83-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-(2-
propenyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

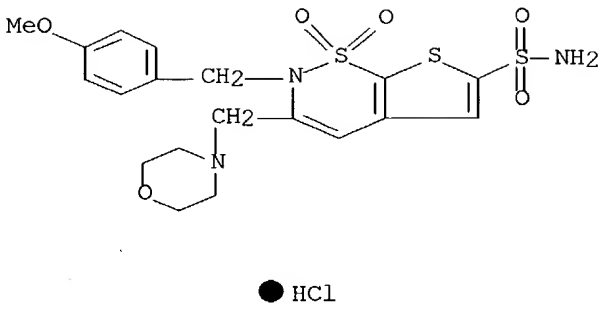


RN 171272-84-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-ethyl-3-(4-
morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



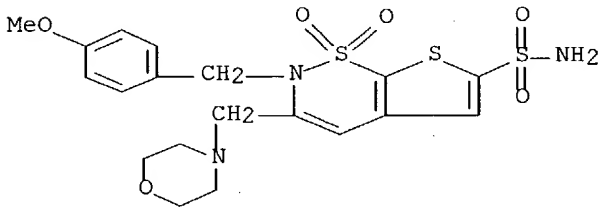
● HCl

RN 171272-89-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[(4-methoxyphenyl)methyl]-3-
(4-morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX
NAME)

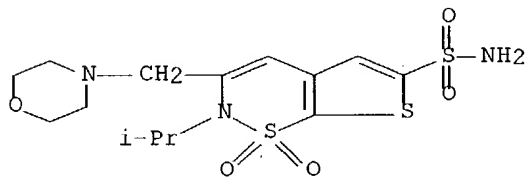


● HCl

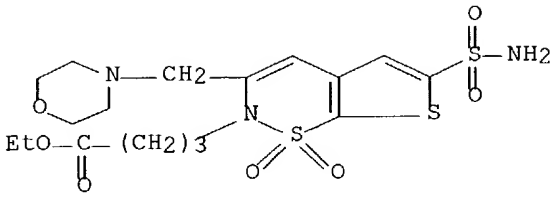
RN 171272-90-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171272-91-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(1-methylethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

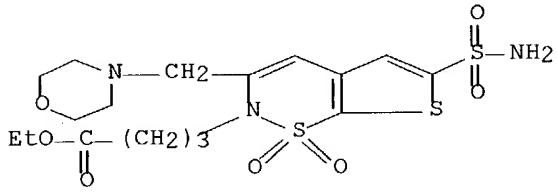


RN 171273-04-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-2-butanoic acid, 6-(aminosulfonyl)-3-(4-morpholinylmethyl)-, ethyl ester, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

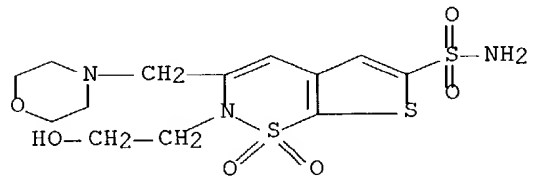


● HCl

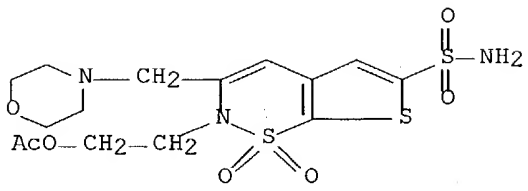
RN 171273-05-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-2-butanoic acid, 6-(aminosulfonyl)-3-(4-morpholinylmethyl)-, ethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-06-6 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(2-hydroxyethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

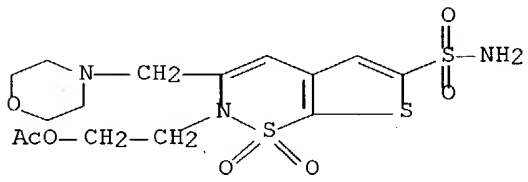


RN 171273-07-7 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(acetyloxy)ethyl]-3-(4-
morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

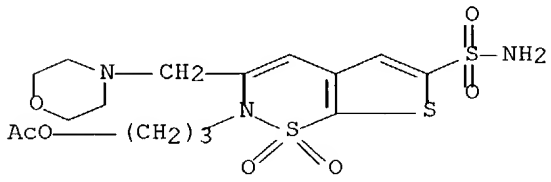


● HCl

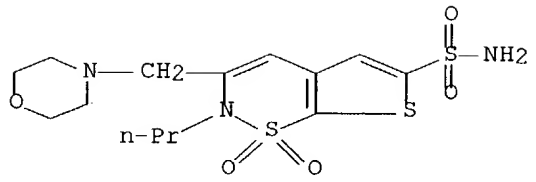
RN 171273-08-8 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[2-(acetyloxy)ethyl]-3-(4-
morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



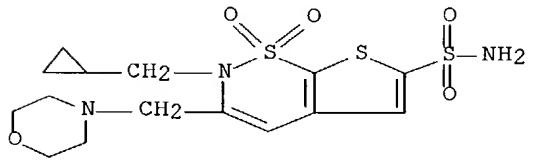
RN 171273-09-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-[3-(acetyloxy)propyl]-3-(4-
morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



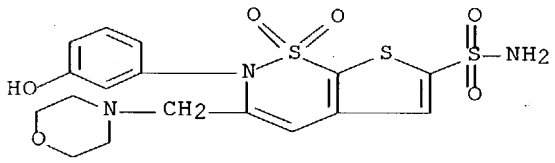
RN 171273-10-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-propyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



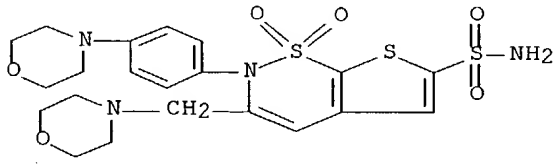
RN 171273-11-3 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(cyclopropylmethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-12-4 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-hydroxyphenyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

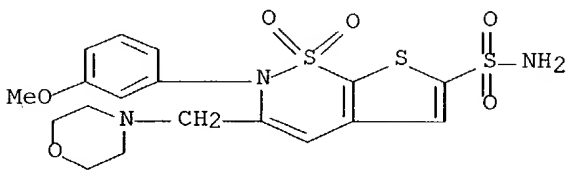


RN 171273-13-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 3-(4-morpholinylmethyl)-2-[4-
(4-morpholinyl)phenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



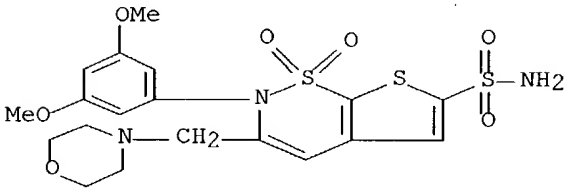
RN 171273-18-0 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3-methoxyphenyl)-3-(4-

morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



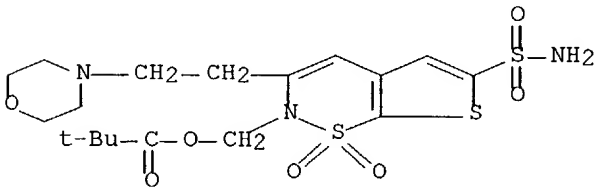
● HCl

RN 171273-21-5 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(3,5-dimethoxyphenyl)-3-(4-
morpholinylmethyl)-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



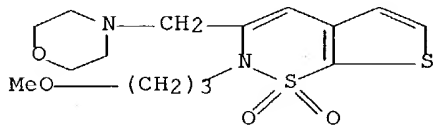
● HCl

RN 171273-22-6 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [6-(aminosulfonyl)-3-[2-(4-morpholinyl)ethyl]-1,1-dioxido-2H-thieno[3,2-e]-1,2-thiazin-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

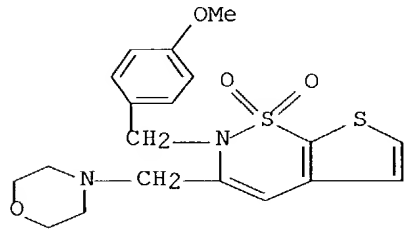


● HCl

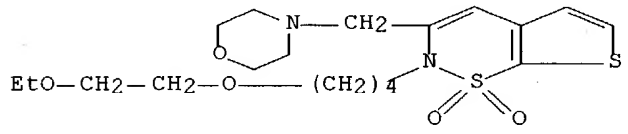
IT **171273-60-2P 171273-67-9P 171273-94-2P**
171273-95-3P 171273-96-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of thienothiazinesulfonamides as carbonic anhydrase inhibitors)
RN 171273-60-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-(3-methoxypropyl)-3-(4-morpholinylmethyl)-
, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-67-9 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-[(4-methoxyphenyl)methyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

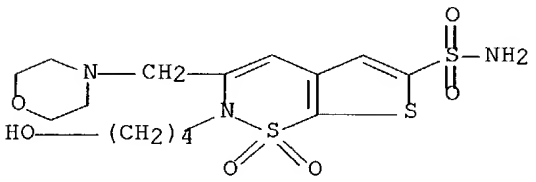


RN 171273-94-2 CAPLUS
CN 2H-Thieno[3,2-e]-1,2-thiazine, 2-[4-(2-ethoxyethoxy)butyl]-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



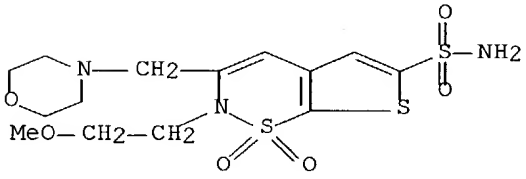
RN 171273-95-3 CAPLUS

CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(4-hydroxybutyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 171273-96-4 CAPLUS

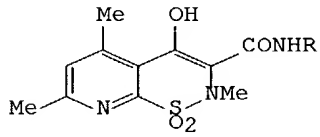
CN 2H-Thieno[3,2-e]-1,2-thiazine-6-sulfonamide, 2-(2-methoxyethyl)-3-(4-morpholinylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:102026 CAPLUS Full-text
DN 114:102026
TI Preparation of amides of 2H-4-hydroxy-2,5,7-trimethylpyrido[3,2-e]-1,2-thiazine-2-carboxylic acid 1,1-dioxide as antiinflammatories and immunosuppressants
IN Malinka, Wieslaw; Zawisza, Tadeusz; Gieldanowski, Jerzy
PA Akademia Medyczna, Wroclaw, Pol.
SO Pol., 3 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 139585	B2	19870228	PL 1985-253057	19850422
PRAI PL 1985-253057		19850422		

GI

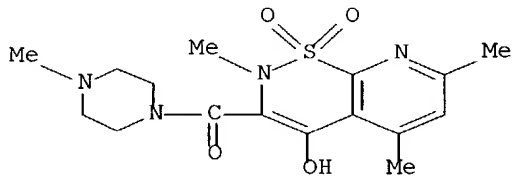


I

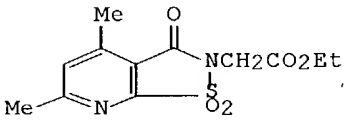
AB The title compds. (I; R = Ph, cyclohexyl, 2-thiazolyl, 2-pyridyl; or NHR is replaced by 4-methylpiperazino), with antiinflammatory and immunosuppressive activities (no data), were prepared by amidation of Et 2H-4-hydroxy-2,5,7-trimethylpyrido[3,2-e]-1,2-thiazine-3-carboxylate 1,1-dioxide with corresponding amines in boiling xylene under N in the presence of type 4A mol. sieves (Soxhlet extractor, 2 equiv amine). Resp. yields were 81, 90, 84, 82, and 35%.

IT **109418-08-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory and immunosuppressant)

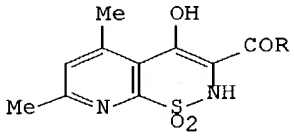
RN 109418-08-8 CAPLUS
CN Piperazine, 1-[(4-hydroxy-2,5,7-trimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-thiazin-3-yl)carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:458954 CAPLUS Full-text
DN 107:58954
TI Synthesis and properties of 2H-4-hydroxy-2,5,7-trimethylpyrido[3,2-e]-1,2-
thiazine-1,1-dioxide-3-carboxamides
AU Zawisza, T.; Malinka, W.
CS Dep. Chem. Drugs, Sch. Med., Wroclaw, Pol.
SO Farmaco, Edizione Scientifica (1986), 41(11), 892-8
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA English
OS CASREACT 107:58954
GI



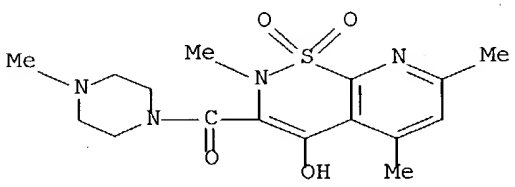
I



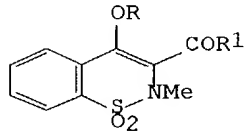
II

AB Rearrangement of pyridoisothiazolinoneacetate I with EtO- gave pyridothiazinecarboxylate II (R = OEt). Reaction of II (R = OEt) with amines gave amides II (R = NH-2-pyridyl, NHPh, NH-2-thiazolyl, etc.) (III). III show antiinflammatory and immunosuppressive activity.

IT **109418-08-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiinflammatory and immunosuppressant activity of)
RN 109418-08-8 CAPLUS
CN Piperazine, 1-[(4-hydroxy-2,5,7-trimethyl-1,1-dioxido-2H-pyrido[3,2-e]-1,2-
thiazin-3-yl)carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



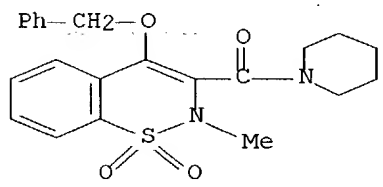
L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:515006 CAPLUS Full-text
DN 105:115006
TI 1,2-Benzothiazines. Part 2. A new approach to 3-carboxamides of the 4-hydroxy-2-methyl-2H-1,2-benzothiazine 1,1-dioxide system
AU Dalla Croce, Piero; La Rosa, Concetta
CS Dip. Chim. Org. Ind., Univ. Milano, Milan, 20133, Italy
SO Journal of Chemical Research, Synopses (1986), (4), 150-1
CODEN: JRPSDC; ISSN: 0308-2342
DT Journal
LA English
OS CASREACT 105:115006
GI



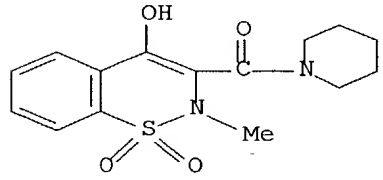
I

AB Reaction of carboxylic acid I (R = CH2Ph, R1 = OH), prepared from I (R = H, R1 = OMe) by sequential benzylation and hydrolysis, with SOCl2 or ClCO2Et-Et3N followed by amines gave the amides I (R = CH2Ph, R1 = NHPh, NHCH2Ph, piperidino, 5-methylisoxazol-3-ylamino, 2-pyridinylamino, thiazol-2-ylamino) (II) in 55-90% yield. Hydrolysis of II with 15% aqueous H2SO4 or HCl in 1,4-dioxane at 100° for 2-12 h gave 80-95% hydroxy amides I (R = H, R1 as before).

IT **104142-06-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation and hydrolysis of)
RN 104142-06-5 CAPLUS
CN Piperidine, 1-[[2-methyl-1,1-dioxido-4-(phenylmethoxy)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



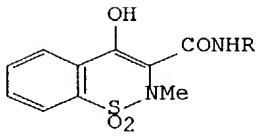
IT **104142-10-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)(preparation of)
RN 104142-10-1 CAPLUS
CN Piperidine, 1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:541990 CAPLUS Full-text
DN 103:141990
TI 1,2-Benzothiazine-3-carboxamide dioxides
IN Puigdellivol, Pedro; Goday, Elisa
PA Laboratorio Fides S. A., Spain
SO Span., 7 pp.
CODEN: SPXXAD
DT Patent
LA Spanish
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 523598	A1	19841101	ES 1983-523598	19830627
PRAI	ES 1983-523598		19830627		

GI

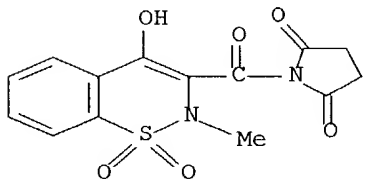


II

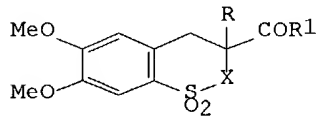
AB N,N-Succinyl-2-methyl-4-hydroxy-2H-1,2-benzothiazine-3-carboxamide (I) was treated with RNH2 (R = 2-pyridyl, 5-methyl-3-isoxazolyl, 2-thiazolyl) to yield amides II, useful as antiinflammatory agents (no data). I was stirred with 2-aminopyridine in dioxane to give II (R = 2-pyridyl).

IT **98207-09-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(transamidation of, by aminopyridine)

RN 98207-09-1 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:103268 CAPLUS Full-text
DN 94:103268
TI Derivatives of 6,7-dimethoxy-1-thiaisochroman-1,1-dioxide and 3,4-dihydro-6,7-dimethoxy-2H-1,2-benzothiazine-1,1-dioxide
AU Poepel, W.; Laban, G.; Faust, G.; Dietz, G.
CS Direktionsber. Forsch. Entwickl., VEB Pharm. Kombinat GERMED, Dresden, Ger. Dem. Rep.
SO Pharmazie (1980), 35(5-6), 266-78
CODEN: PHARAT; ISSN: 0031-7144
DT Journal
LA German
OS CASREACT 94:103268
GI

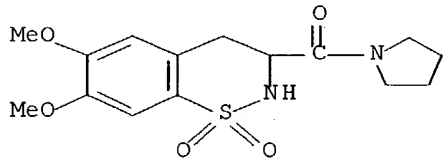


I

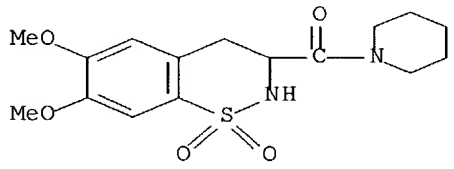
AB The title compds. (I; X = O, NH, NMe, NCH2Ph; R = H, Me; R1 = substituted NH2, OMe, OPr, OCH2Ph, etc.) were prepared e.g. by cyclizing 3,4-(MeO)2C6H3CH2CRXCN (X = Cl, OH) with concentrate H2SO4 and then derivatizing the resulting acid. I (X = O, R1 = ester group) showed anticonvulsant and central nervous system (CNS) depressant activity (no data), whereas I (X = substituted NH) had weaker CNS activity with antitussive activity.

IT **76667-17-9P 76667-18-0P 76667-19-1P**
76667-22-6P 76667-40-8P 76667-41-9P
76667-50-0P 76667-73-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

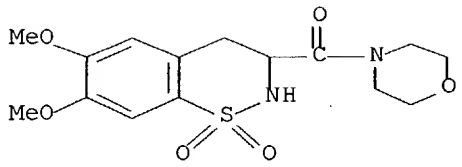
RN 76667-17-9 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



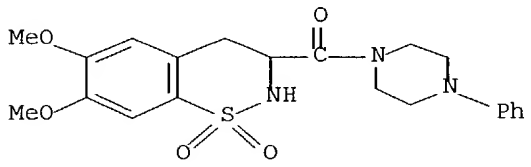
RN 76667-18-0 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



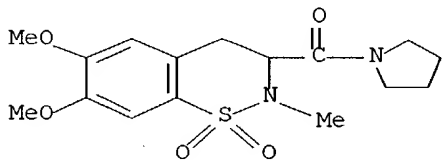
RN 76667-19-1 CAPLUS
CN Morpholine, 4-[(3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



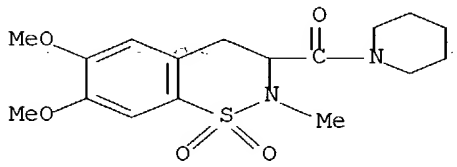
RN 76667-22-6 CAPLUS
CN Piperazine, 1-[(3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



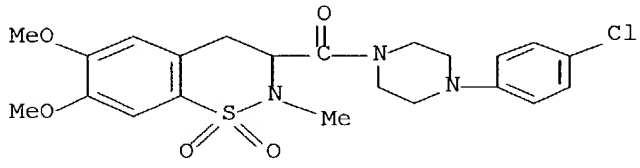
RN 76667-40-8 CAPLUS
CN Pyrrolidine, 1-[(3,4-dihydro-6,7-dimethoxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



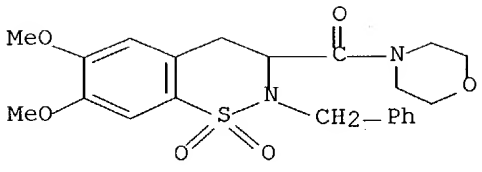
RN 76667-41-9 CAPLUS
CN Piperidine, 1-[(3,4-dihydro-6,7-dimethoxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 76667-50-0 CAPLUS
CN Piperazine, 1-(4-chlorophenyl)-4-[(3,4-dihydro-6,7-dimethoxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



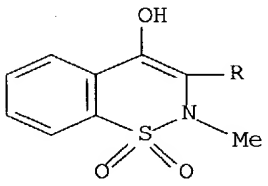
RN 76667-73-7 CAPLUS
CN Morpholine, 4-[[3,4-dihydro-6,7-dimethoxy-1,1-dioxido-2-(phenylmethyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

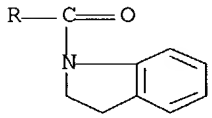

MeO
MeO
O
C
N
O
N
S
CH2—Ph
O
O

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1974:413538 CAPLUS Full-text
DN 81:13538
TI 4-Hydroxy-3-carbamoyl-2H-1,2-benzothiazine 1,1-dioxides and 4-hydroxy-3(2H)-1,2-benzothiazine carboxylate-1,1-dioxides
IN Sircar, Jagadish C.; Zinnes, Harold; Shavel, John, Jr.
PA Warner Lambert Co.
SO U.S., 18 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

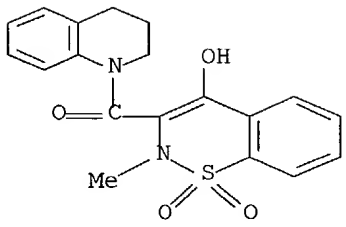
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3808205	A	19740430	US 1972-251163	19720508
PRAI	US 1971-179570		19710910		

GI For diagram(s), see printed CA Issue.
AB 4-(1-Pyrrolidinyl)-2-methyl-2H-1,2-benzothiazine-3-carbonyl chloride (I, R = 1-pyrrolidinyl, R1 = COCl), obtained by reaction of I (R = 1-pyrrolidinyl, R1 = H) with COCl2, was treated with the appropriate primary or secondary amines to give I [R = 1-pyrro-lidinyl; R1 = CONR2R3, R2R3 = Me, Ph, Et, 1-adamantyl, 2-thienyl, H, or NR2R3 = 1-indolinyl, 3,4-dihydro-1(2H)-quinolyl, 1-aziridinyl), which were hydrolyzed (HCl) to give I (R = OH), useful as antiinflammatory agents. Thus, I (R = 1-pyrrolidinyl, R1 = COCl) was refluxed 16 hr with PhNHMe in THF containing Et3N to give I (R = 1-pyrrolidinyl, R1 = CONMePh), which was refluxed 1 hr in 3N HCl to give I (R = OH, R1 = CONMePh).
IT **40713-59-5P 40713-60-8P 40713-62-0P 40713-69-7P 40713-70-0P 40713-71-1P 52853-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)(preparation of)
RN 40713-59-5 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

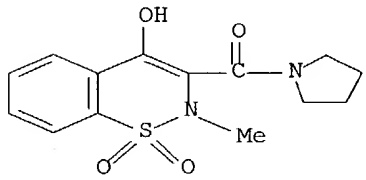




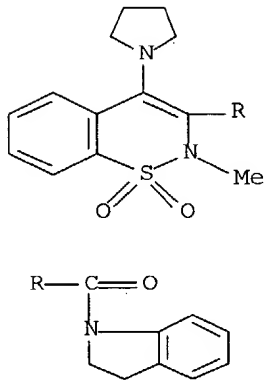
RN 40713-60-8 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



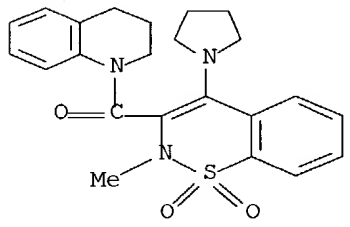
RN 40713-62-0 CAPLUS
CN Pyrrolidine, 1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



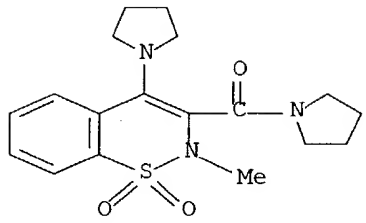
RN 40713-69-7 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



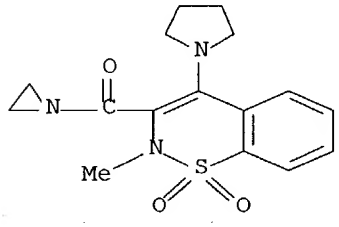
RN 40713-70-0 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



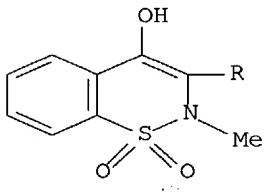
RN 40713-71-1 CAPLUS
CN Pyrrolidine, 1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

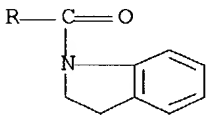


RN 52853-59-5 CAPLUS
CN Aziridine, 1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

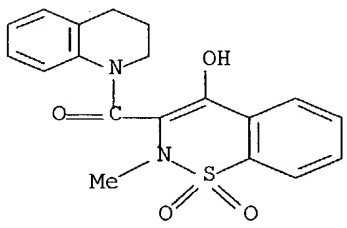


L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1973:92403 CAPLUS Full-text
DN 78:92403
TI 1,2-Benzothiazines. 6. 3-Carbamoyl-4-hydroxy-2H-1,2-benzothiazine 1,1-dioxides as antiinflammatory agents
AU Zinnes, Harold; Lindo, Neil A.; Sircar, Jagadish C.; Schwartz, Martin L.; Shavel, John, Jr.
CS Dep. Org. Chem., Warner-Lambert Res. Inst., Morris Plains, NJ, USA
SO Journal of Medicinal Chemistry (1973), 16(1), 44-8
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB 4-Hydroxy-2-methyl-N-phenyl-2H-1,2-benzothiazine-3-carboxanilide 1,1-dioxide (I) [38859-30-2] (100 mg/kg orally) was approx. as active an antiinflammatory agent as phenylbutazone [50-33-9] against carrageenin-induced rat paw edema. Various derivs. of I tested were less active or inactive. A new method for synthesis of I and its derivs. involved the reaction of the known 2-substituted-4-(1-pyrrolidino)-2H-1,2-benzothiazine 1,1-dioxide with phosgene in the presence of Et3N to form the 3-chloroformyl derivative, which reacted with the appropriate amine; acid hydrolysis yielded the desired compound
IT **40713-59-5 40713-60-8 40713-62-0**
40713-69-7 40713-70-0 40713-71-1
RL: BIOL (Biological study)(inflammation inhibitor)
RN 40713-59-5 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

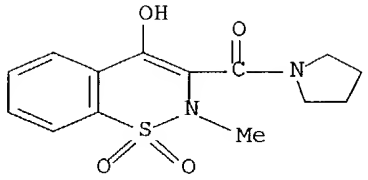




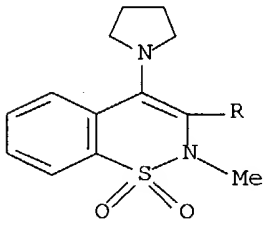
RN 40713-60-8 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

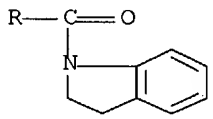


RN 40713-62-0 CAPLUS
CN Pyrrolidine, 1-[(4-hydroxy-2-methyl-1,1-dioxido-2H-1,2-benzothiazin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

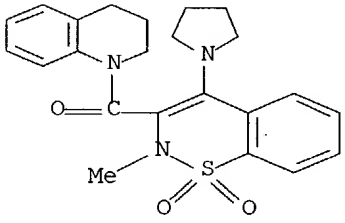


RN 40713-69-7 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

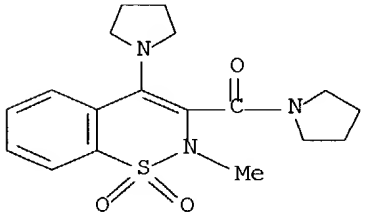




RN 40713-70-0 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 40713-71-1 CAPLUS
CN Pyrrolidine, 1-[[2-methyl-1,1-dioxido-4-(1-pyrrolidinyl)-2H-1,2-benzothiazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1970:520647 CAPLUS Full-text
DN 73:120647
TI Isomeric 3,4-dihydro-2H-1,2-benzothiazine 1,1-dioxides valuable for their chemotherapeutic qualities
IN Lombardino, Joseph G.
PA Pfizer, Chas., and Co., Inc.
SO Ger. Offen., 67 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

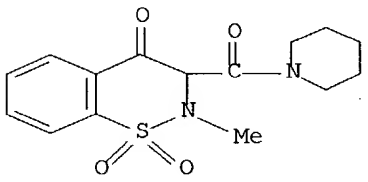
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1943265	A	19700813	DE 1969-1943265	19690826
	DE 1943265	B2	19810514		
	DE 1943265	C3	19820204		
	US 3591584	A	19710706	US 1968-767594	19680827
	GB 1257180	A	19711215	GB 1968-1257180	19681231
	NO 129746	B	19740520	NO 1969-3274	19690812
	BR 6911817	A0	19730213	BR 1969-211817	19690825
	FI 51189	B	19760802	FI 1969-2460	19690825
	BE 737962	A	19700226	BE 1969-737962	19690826
	NL 6912981	A	19700303	NL 1969-12981	19690826
	NL 157013	B	19780615		
	ES 370861	A1	19710701	ES 1969-370861	19690826
	AT 294113	B	19711110	AT 1969-8146	19690826
	CH 520705	A	19720331	CH 1969-520705	19690826
	AT 298503	B	19720510	AT 1970-9366	19690826
	CH 527840	A	19720915	CH 1969-527840	19690826
	DE 1967325	B2	19810813	DE 1969-1967325	19690826
	DE 1967325	C2	19820318		
	DK 145297	B	19821025	DK 1969-4570	19690826
	DK 145297	C	19830314		
	FR 2016455	A5	19700508	FR 1969-29284	19690827
	FR 2016455	B1	19740201		
	JP 50000677	B4	19750110	JP 1969-67265	19690827
	SE 373854	B	19750217	SE 1969-11871	19690827
	SE 402459	C	19781012	SE 1973-511	19730115
	JP 51042114	B4	19761113	JP 1973-82782	19730724
PRAI	US 1968-767594		19680827		

GI For diagram(s), see printed CA Issue.
AB I or II (.apprx.160) (Z = S or O) nonsteroidal antiinflammatory agents, were prepared by treating III where X = H,H and Q = O or vice versa with R2NCZ in the presence of base or by treating III where X = O and Q = carbalkoxy or vice versa with amines. Thus, III (X = H,H; Q = O; R1 = Me, R3 = H) (IV) was prepared by cyclodehydration of o-HO2CCH2C6H4SO2NHMe (prepared by carboxylation of 2-MeC6H4SO2NHMe in the presence of BuLi). Treating IV with o-ClC6H4NCO in Me2SO in the presence of Et3N 20 hr at 25° gave 46% II (Z = O, R1 = Me, R2 = o-ClC6H4NH, R3 = H). III (X = O; Q = H, CO2Me; R1 = R3 = H), prepared by rearrangement of V in the presence of NaOMe in dry DMF, was treated with MeI to give the 2-Me derivative, which was treated with PhNH2 in dry AcNMe2 in the presence of p-MeC6H4SO3H to give 35% I (Z = O; R1 = Me; R2 = NHPh, R3 = H).
IT **29152-13-4P**

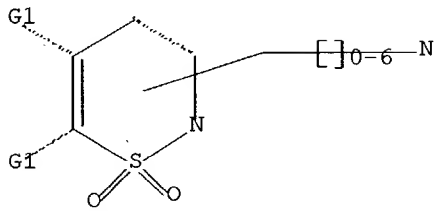
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 29152-13-4 CAPLUS

CN Piperidine, 1-[(3,4-dihydro-2-methyl-4-oxo-2H-1,2-benzothiazin-3-yl)carbonyl]-, S,S-dioxide (8CI) (CA INDEX NAME)



=> d l1; d his; log y
L1 HAS NO ANSWERS
L1 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 19:45:39 ON 06 OCT 2004)

FILE 'REGISTRY' ENTERED AT 19:45:46 ON 06 OCT 2004
L1 STRUCTURE UPLOADED
L2 4 S L1
L3 72 S L1 FUL

FILE 'CAPLUS' ENTERED AT 19:46:38 ON 06 OCT 2004
L4 13 S L3

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	62.76	218.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.10	-9.10

STN INTERNATIONAL LOGOFF AT 19:47:48 ON 06 OCT 2004